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(54) Title: MELANOCORTIN-4 RECEPTOR BINDING COMPOUNDS AND METHODS OF USE THEREOF

(57) Abstract: MC4-R binding compounds of the formula: B-Z-E (I) wherein B is an anchor moiety, Z is a central moiety, and E is a MC4-R interacting moiety are discussed. Methods of using the compounds to treat MC4-R associated disorders, such as disorders associated with weight loss, are also discussed.

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CLAIMS

1. A method for treating a MC4-R associated state in a mammal comprising administering an effective amount of a MC4-R binding compound to a mammal, such
5 that the MC4-R associated state is treated, wherein said compound is of the formula (I):

B-Z-E**(I)**

wherein

- 10 B is an anchor moiety;
Z is a central moiety; and
E is a MC4-R interacting moiety.

2. The method of claim 1, wherein said compound binds to the MC4-R with an IC_{50} of about 5 μM or less.
15
3. The method of claim 2, wherein said compound binds to the MC4-R with an IC_{50} of about 1 μM or less.
4. The method of claim 3, wherein said compound binds to the MC4-R with an IC_{50} of about 0.5 μM or less.
20
5. The method of claim 4, wherein said compound binds to the MC4-R with an IC_{50} of about 0.1 μM or less.
- 25 6. The method of claim 5, wherein said compound binds to the MC4-R with an IC_{50} of about 0.05 μM or less.
7. The method of claim 6, wherein said compound binds to the MC4-R with an IC_{50} of about 0.03 μM or less.
30
8. The method of claim 1, wherein said compound is an antagonist of the MC4-R.
9. The method of claim 1, wherein said compound is an agonist of the MC4-R.
- 35 10. The method of claim 1, wherein said effective amount is effective to treat a disorder associated with pigmentation, bones or weight loss.

11. The method of claim 10, wherein said effective amount is effective to treat a disorder associated with weight loss.

12. The method of claim 11, wherein said weight loss is a result of anorexia nervosa, old age, cancer cachexia, or HIV cachexia.

13. The method of claim 1, wherein said mammal is a human.

14. A method for treating an MC4-R associated state in a mammal comprising administering an effective amount of a MC4-R binding compound to a mammal, such that the MC4-R associated state is treated, wherein said compound is of the formula (III):



wherein:

B is an anchor moiety;

L₁ and L₂ are linking moieties;

A is a cyclic moiety; and

E is a MC4-R interacting moiety,

15. The method of claim 14, wherein B is substituted or unsubstituted alkyl, alkenyl, alkynyl, aryl, or heterocyclic.

16. The method of claim 15, wherein B is aryl.

17. The method of claim 16, wherein B is carbocyclic.

18. The method of claim 17, wherein B is phenyl.

19. The method of claim 18, wherein B is substituted with at least one substituent, wherein each substituent is independently selected from the group consisting of halogens, alkoxy, hydroxy, alkylcarbonyl, cyano, nitro, thioether, thioalkyl, thiol, alkyl, alkenyl, alkynyl, aryl, arylalkynyl, and arylalkyl.

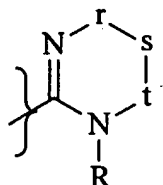
20. The method of claim 19, wherein B is substituted with at least one halogen.

21. The method of claim 19, wherein B is substituted with at least one alkoxy group.

22. The method of claim 20, wherein B is 2-alkoxy-5-bromo phenyl.
23. The method of claim 22, wherein B is 2-methoxy-5-bromo phenyl.
- 5 24. The method of claim 19, wherein B is substituted with at least one alkyl group.
25. The method of claim 16, wherein B comprises more than one aromatic ring.
- 10 26. The method of claim 25, wherein B is substituted or unsubstituted naphthyl, fluorene, anthracene, or biphenyl.
27. The method of claim 26, wherein B is substituted or unsubstituted naphthyl.
- 15 28. The method of claim 26, wherein B is substituted with one or more substituents selected from the group consisting of halogens, alkoxy, hydroxy, alkylcarbonyl, cyano, nitro, thiol, thioether, thioalkyl, alkyl, alkenyl, alkynyl, aryl, arylalkynyl, and arylalkyl.
29. The method of claim 15, wherein B comprises a heterocycle.
- 20 30. The method of claim 29, where B comprises a substituted heterocycle.
31. The method of claim 29, wherein B is substituted or unsubstituted furanyl, imidazolyl, benzothiophenyl, benzofuranyl, quinolinyl, isoquinolinyl, benzodioxanyl, 25 benzoxazolyl, benzothiazolyl, methylenedioxyphenyl, ethylenedioxyphenyl, piperidinyl, indolyl, thienyl, pyrimidyl, pyrazinyl, purinyl, or deazapurinyl.
32. The method of claim 14, wherein L₁ is a covalent bond or a substituted or unsubstituted chain of one to six atoms.
- 30 33. The method of claim 32, wherein said chain comprises a carbon atom and at least one other atom selected from the group consisting of carbon, sulfur, oxygen, or nitrogen.
34. The method of claim 33, wherein said chain comprises a sulfur atom.
- 35 35. The method of claim 33, wherein said chain comprises an oxygen atom.
36. The method of claim 33, wherein said chain comprises two carbon atoms.

37. The method of claim 14, wherein A is substituted or unsubstituted phenyl, heteroaryl, or bicyclic.
- 5 38. The method of claim 37, wherein A is unsubstituted phenyl.
39. The method of claim 37, wherein A is substituted phenyl.
- 10 40. The method of claim 39, wherein A is substituted with one or more substituents selected from the group consisting hydroxy, chlorine, fluorine, bromine, iodine, amino, cyano, alkoxy, aryl, and alkyl.
41. The method of claim 40, wherein A is substituted with chlorine.
- 15 42. The method of claim 40, wherein A is substituted with fluorine.
43. The method of claim 40, wherein said alkyl group is methyl, trifluoromethyl, ethyl, propyl, or butyl.
- 20 44. The method of claim 40, wherein said alkoxy group is methoxy, ethoxy, propoxy, or butoxy.
- 25 45. The method of claim 37, wherein A is heteroaryl and selected from the group consisting of pyrimidyl, pyrazinyl, thienyl, pyrrolyl, imidazolyl, and quinoxalinyl.
46. The method of claim 37, wherein A is bicyclic and selected from the group consisting of methylenedioxyphenyl, isoindole, indole, and indan.
- 30 47. The method of claim 14, wherein L₂ is selected from the group consisting of a covalent bond, a carbonyl moiety, a thiocarbonyl moiety or C₁-C₆ branched or unbranched alkyl, wherein one, two or three of the carbons are optionally replaced with any combination of substituted or unsubstituted oxygen, sulfur and nitrogen atoms.
- 35 48. The method of claim 47, wherein L₂ is a covalent bond.
49. The method of claim 14, wherein said MC4-R interacting moiety is a substituted or unsubstituted amino group, alkyl, cyano, guanidino, amidino, or a heterocyclic moiety.

50. The method of claim 49, wherein E is dialkylamino.
51. The method of claim 49, wherein E is heterocyclic.
52. The method of claim 51, wherein E contains a nitrogen atom.
53. The method of claim 52, wherein E is substituted or unsubstituted piprazinyl, imidazolyl, imidazoliny, imidoazopyridinyl, pyrrolloimidazolyl, pyrrolyl, azetidiny, azapanyl, diazapanyl, pyrimidinyl, pyridinyl, morpholinyl, or piperidinyl.
54. The method of claim 51, wherein E is multicyclic.
55. The method of claim 54, wherein E is a bridged or fused ring.
56. The method of claim 52, wherein E is of the formula (XIII):



(XIII)

wherein

- r is a covalent bond, CH, CH₂, CHR¹, CR¹R², or H;
- t is CH, CH₂, CHR³, CR³R⁴, or H;
- s is CH, CH₂, alkenyl, CHR⁵, CR⁵R⁶, or absent;
- R is hydrogen, alkyl, alkenyl, arylalkyl, alkoxycarbonyl, arylcarbonyl, arylalkylcarbonyl, alkylcarbonyl, optionally linked to A, B, L₁, L₂, R¹, R², R³, R⁴, R⁵, or R⁶ to form a ring; and
- R¹, R², R³, R⁴, R⁵, and R⁶ are each halogen, thiol, thioalkyl, thioether, alkoxy, alkyl, alkenyl, alkynyl, heterocyclic, aryl, hydroxyl, nitro, amino, cyano, optionally linked to form a ring with R, R¹, R², R³, R⁴, R⁵, or R⁶.

57. The method of claim 56, wherein each of r, s and t are CH₂.

58. The method of claim 56, wherein R is H, alkyl, arylcarbonyl, alkoxycarbonyl, alkylcarbonyl, or arylalkylcarbonyl.

59. The method of claim 58, wherein R is selected from the group consisting of methyl, ethyl, and propyl.

60. The method of claim 56, wherein r is a covalent bond.

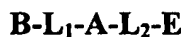
61. The method of claim 14, wherein said compound is an MC4-R antagonist.

62. The method of claim 14, wherein said effective amount is effective to treat a disorder associated with weight loss or bones.

63. The method of claim 62, wherein said weight loss is a result of anorexia nervosa, old age, cancer cachexia, or HIV cachexia.

64. The method of claim 14, wherein said mammal is a human.

65. A method for treating an MC4-R associated state in a mammal comprising administering an effective amount of a MC4-R binding compound to said mammal, such that the MC4-R associated state is treated, wherein said compound is an MC4-R antagonist, and is of the formula (III):



(III)

wherein

B is substituted or unsubstituted biaryl, unsubstituted or substituted heterocyclic, or unsubstituted or substituted phenyl, wherein one or more of said substituents are halogens, hydroxy, alkyl, alkynyl, alkoxy, aryl, amino, cyano, or nitro;

L₁ is a covalent bond, C₁-C₆ branched or unbranched alkyl, wherein one or two of the carbons are optionally replaced with oxygen, sulfur or nitrogen atoms;

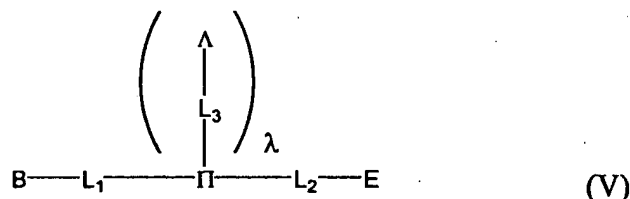
L₂ is a covalent bond, substituted or unsubstituted amino, ether, thioether, or alkyl;

E is substituted or unsubstituted alkyl, amino, amidino, guanidino, heterocyclic, or aryl, wherein said substituents are amino, arylalkyl, aminoalkyl, alkyl, aryl, alkenyl, or alkynyl; and

A is a substituted or unsubstituted phenyl, heteroaryl, cycloalkyl, or biaryl, and pharmaceutically acceptable salts thereof.

66. The method of claim 65, wherein B is substituted or unsubstituted phenyl or naphthyl.

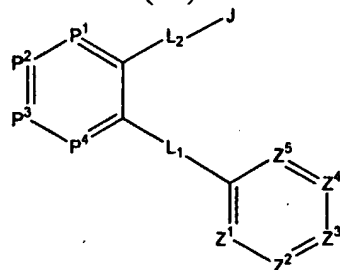
67. The method of claim 65, wherein B is phenyl substituted with at least one halogen or alkoxy substituent.
68. The method of claim 65, wherein L₁ is a thioether or an ether.
- 5 69. The method of claim 65, wherein L₂ is a covalent bond.
70. The method of claim 65, wherein A is phenyl.
- 10 71. The method of claim 65, wherein A is heteroaryl.
72. The method of claim 65, wherein E is heterocyclic.
73. A method for treating an MC4-R associated state in a mammal comprising
 15 administering an effective amount of a MC4-R binding compound to said mammal, such that the MC4-R associated state is treated, wherein said compound is an MC4-R antagonist, and is of the formula (V):



- 20 B is substituted or unsubstituted biaryl, unsubstituted or substituted heterocyclic, or unsubstituted or substituted phenyl, wherein one or more of said substituents are halogens, hydroxy, alkyl, alkynyl, alkoxy, aryl, amino, cyano, or nitro;
- L₁ is a covalent bond, C₁-C₆ branched or unbranched alkyl, wherein one or two of the carbons are optionally replaced with oxygen, sulfur or nitrogen atoms;
- 25 L₂ is a covalent bond, substituted or unsubstituted amino, ether, thioether, or alkyl;
- E is substituted or unsubstituted alkyl, amino, amidino, guanidino, heterocyclic, or aryl, wherein said substituents are amino, arylalkyl, aminoalkyl, alkyl, aryl, alkenyl, or alkynyl;
- 30 Π is a covalent bond, a carbon atom, a nitrogen atom, heterocyclic, alkyl, cycloalkyl, or aryl;
- L₃ is a covalent bond, C₁-C₆ branched, unbranched or cyclic alkyl, wherein one, two or three of the carbons are optionally replaced with oxygen, sulfur or nitrogen atoms, carbonyl, aminocarbonyl, aminocarbonylamino, aminocarbonyloxy, or
 35 aminothiocarbonyl; and

Λ is heterocyclic, aryl, alkoxy, amino, alkyl, alkenyl, alkynyl, or hydrogen; and λ is 0, 1 or 2, and pharmaceutically acceptable salts thereof.

74. A method for treating an MC4-R associated state in a mammal comprising
 5 administering an effective amount of a MC4-R binding compound to a mammal, such that the MC4-R associated state is treated, wherein said compound is an MC4-R antagonist, and is of the formula (VI):



(VI)

wherein

- 10 P¹, P², P³, and P⁴ are optionally substituted carbon, sulfur, or nitrogen, and wherein one of P¹, P², P³, and P⁴ may represent a covalent bond;
 Z¹, Z², Z³, Z⁴, and Z⁵ are optionally substituted carbon or nitrogen;
 L¹ is a covalent bond, C₁-C₆ branched or unbranched alkyl, wherein one or two of the carbons are optionally replaced with oxygen, sulfur or nitrogen atoms;
 15 L₂ is a covalent bond, substituted or unsubstituted amino, ether, thioether, or alkyl;
 L₂ is a covalent bond, substituted or unsubstituted amino, ether, thioether, or alkyl; and
 J is an unsubstituted or substituted nitrogen containing heterocycle or a
 20 substituted or unsubstituted amino group, and pharmaceutically acceptable salts thereof.

75. The method of claim 74, wherein P¹, P², P³, and P⁴ are each substituted or unsubstituted carbon.

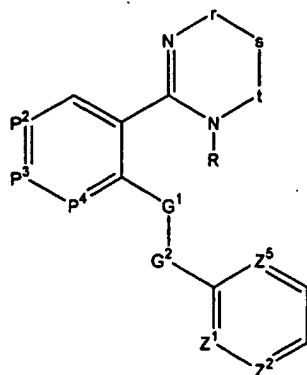
- 25 76. The method of claim 74, wherein P⁴ is sulfur, P¹ is a covalent bond, and P² and P³ are substituted or unsubstituted carbon.

77. The method of claim 75, wherein P¹ and P³ are CH.

- 30 78. The method of claim 75, wherein P² and P⁴ are each independently CH, CF, CCl, CBr, Cl, C-alkyl, C-alkoxy, or C-aryl.

79. The method of claim 78, wherein P² is CH.

80. The method of claim 78, wherein P^4 is CCl or CF.
81. The method of claim 74, wherein Z^3 and Z^4 are each CH.
- 5 82. The method of claim 74, wherein Z^1 is CH, or covalently linked to Z^2 to form a naphthyl ring.
- 10 83. The method of claim 74, wherein Z^2 is CH, C-(C \equiv CH), CCl, CBr, Cl, CF, or covalently linked to Z^1 to form a naphthyl ring.
84. The method of claim 83, wherein Z^2 is CBr.
85. The method of claim 74, wherein Z^5 is CH, C-alkoxy, or COH.
- 15 86. The method of claim 85, wherein Z^5 is C-OMe.
87. The method of claim 74, wherein L^2 is a covalent bond.
- 20 88. The method of claim 74, wherein J is substituted or unsubstituted imidazolyl, imidazoliny, piprazinyl, imidoazopyridinyl, pyrroloimidazolyl, pyrrolyl, azetidiny, azapanyl, diazapanyl, pyrimidinyl, pyridinyl, morpholinyl, or piperidinyl.
- 25 89. The method of claim 74, wherein J is a substituted or unsubstituted fused ring or bridged heterocycle.
90. The method of claim 74, wherein said MC4-R binding compound is of the formula (IX):



(IX)

wherein:

P^2 is CH, CF, CCl, CBr, C-alkyl, C-aryl, C-alkoxy, C-CN, C-OH, Cl, or a covalent bond;

5 P^3 is CH, CF, CCl, CBr, C-alkyl, C-aryl, C-alkoxy, C-CN, C-OH, or Cl;

P^4 is CH, CCl, CBr, CF, C-alkyl, C-aryl, C-alkoxy, C-CN, C-OH, Cl, or a sulfur atom;

G^1 and G^2 are each independently CH_2 , S, or O;

r is a covalent bond or CH_2 ;

10 t is CH_2 , CHR^3 , or CR^3R^4 ;

s is CH_2 , CHR^5 or CR^5R^6 ;

R is hydrogen, alkyl, alkoxycarbonyl, or alkylcarbonyl;

Z^1 is CH, or covalently linked to Z^2 to form a naphthyl ring;

15 Z^2 is CH, C-($C\equiv CH$), CCl, CBr, Cl, CF, or covalently linked to Z^1 to form a naphthyl ring;

Z^5 is CH, or C-alkoxy;

R^3 , R^4 , R^5 , and R^6 are methyl, ethyl, hydroxyl, alkoxy, halogen, cyano, nitro, or amino, or pharmaceutically acceptable salts thereof.

20 91. The method of claim 90, wherein Z^1 is CH, Z^2 is CBr and Z^5 is C-OMe.

92. The method of claim 90, wherein P^2 is CH.

93. The method of claim 90, wherein P^4 is CCl or CF.

25

94. The method of claim 90, wherein G^1 and G^2 are each CH_2 .

95. The method of claim 90, wherein G^1 and G^2 together are $-CH_2-S-$ or $-S-CH_2-$.

30 96. The method of claim 90, wherein Z^1 and Z^2 are linked to form a naphthyl ring.

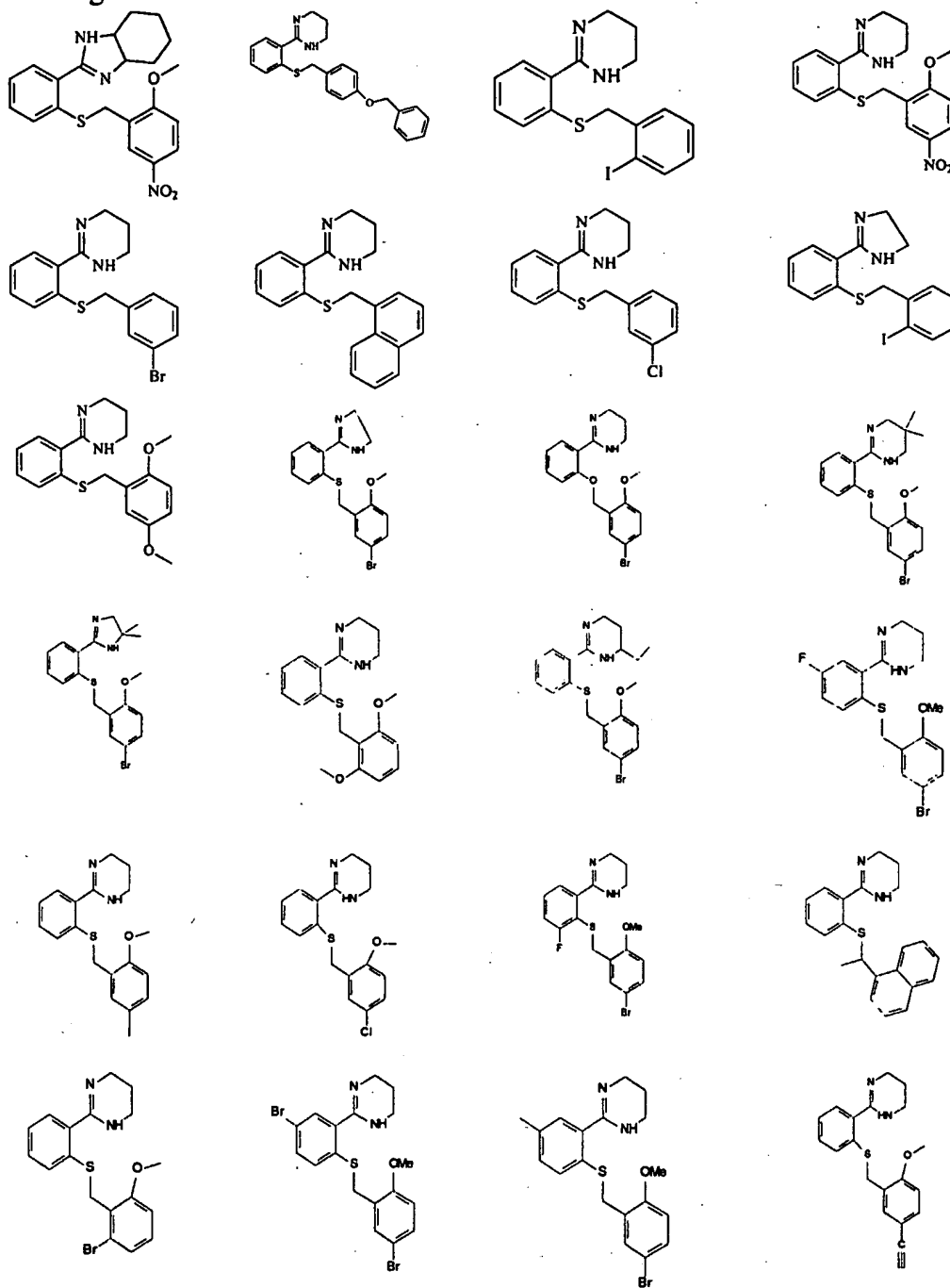
97. The method of claim 90, wherein r is a covalent bond; s is CR^5R^6 ; and t is CR^3R^4 , wherein R^3 , R^4 , R^5 , and R^6 are each independently hydrogen or alkyl.

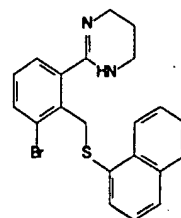
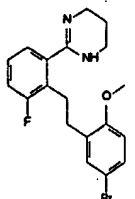
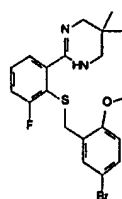
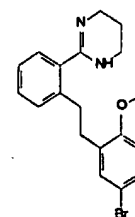
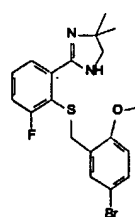
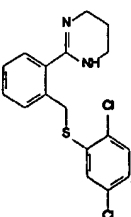
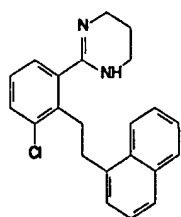
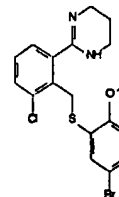
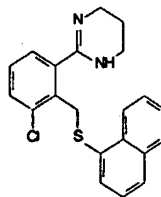
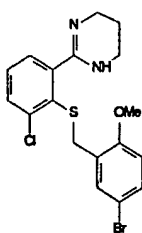
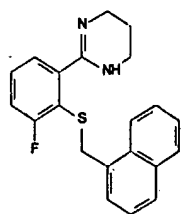
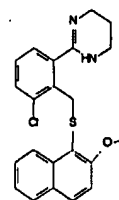
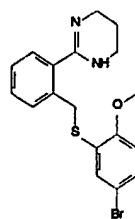
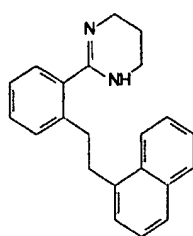
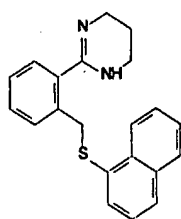
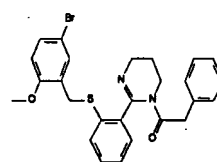
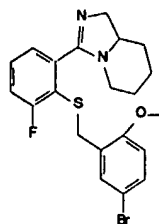
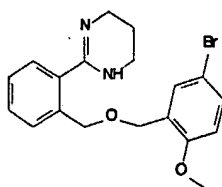
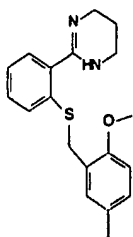
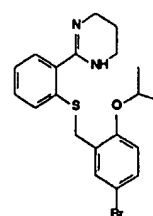
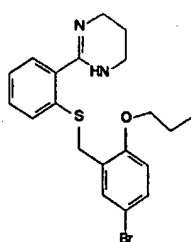
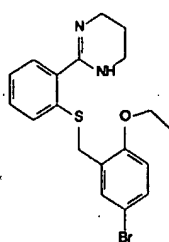
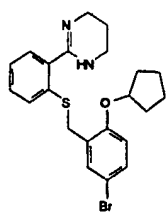
35 98. The method of claim 90, wherein R is hydrogen.

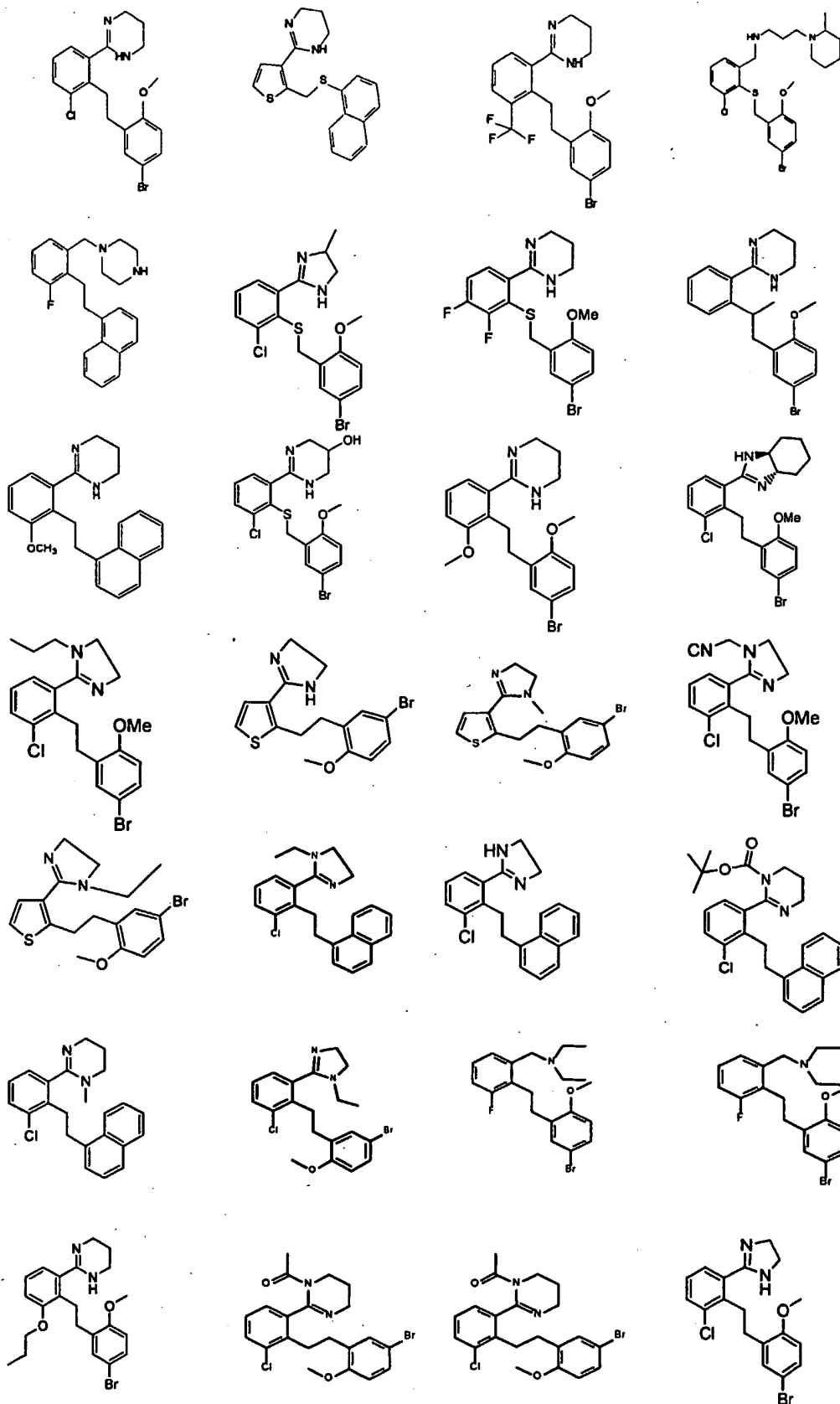
99. The method of claim 90, wherein R is alkyl.

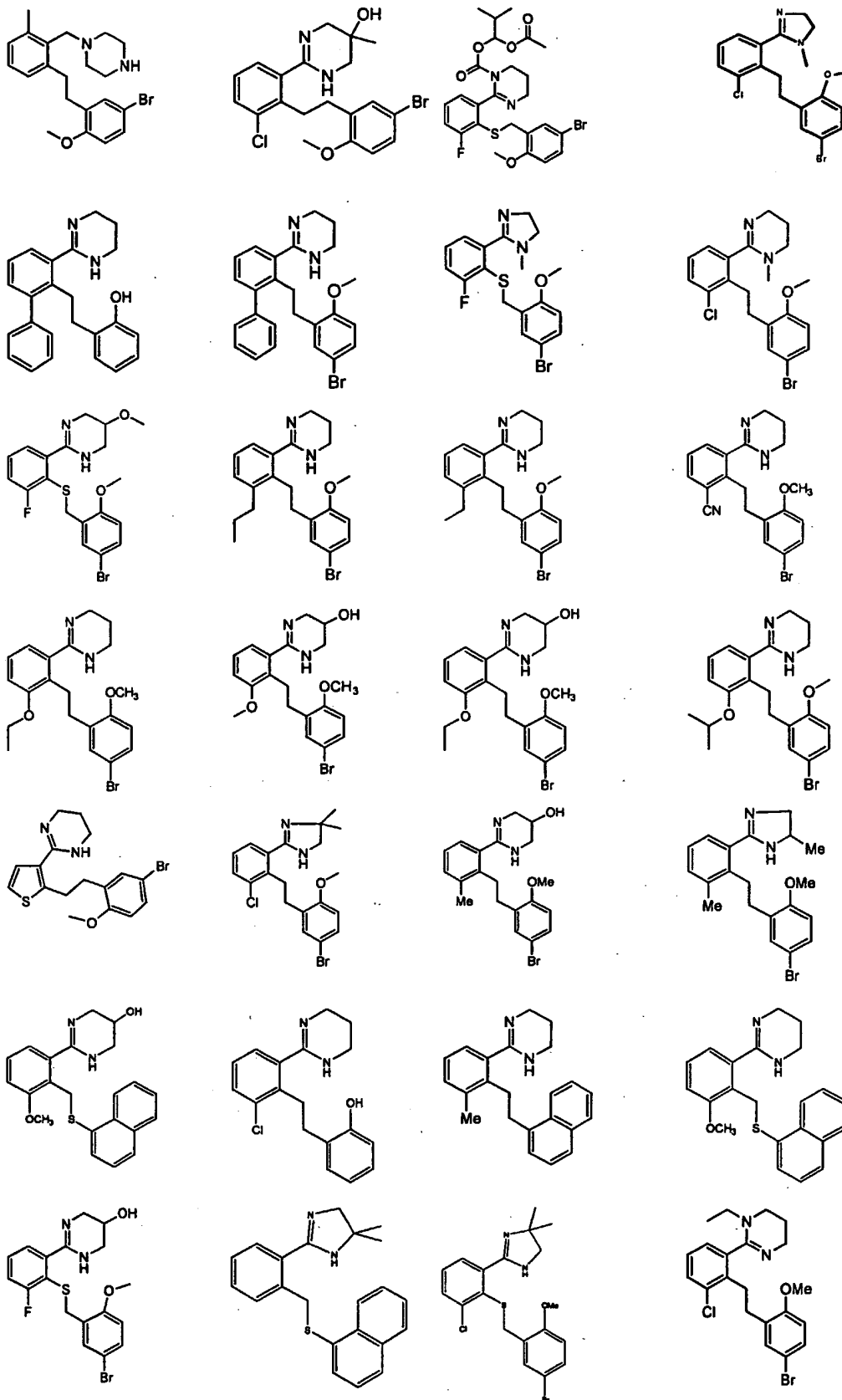
100. The method of claim 99, wherein R is methyl, ethyl, propyl or butyl.

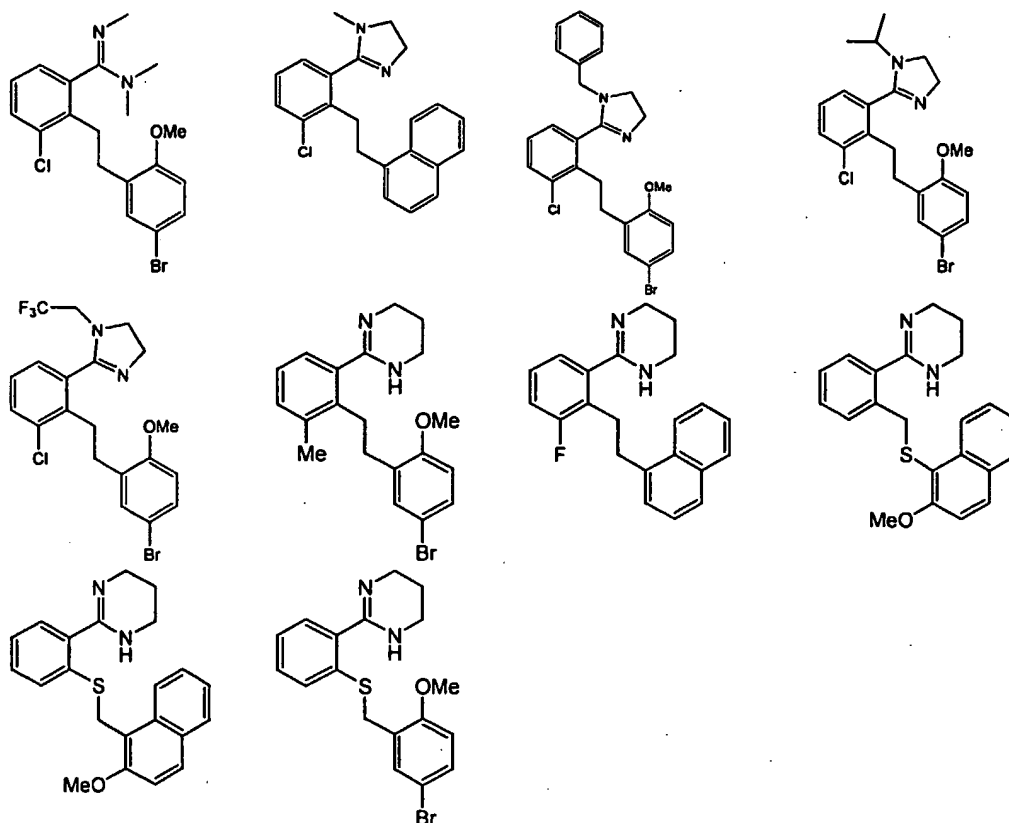
101. The method of claim 74, wherein said compound is selected from the group consisting of:











102. The method of claim 65, wherein said compound is selected from the group consisting of: 2-[2-(4-benzyloxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine; 2-[2-(2-iodo-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 5 2-[2-(2-methoxy-5-nitro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine; 2-[2-(naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine; 2-[2-(3-chloro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine; 2-[2-(2,5-dimethoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine; 2-[2-(3-bromo-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 10 2-[2-(2-iodo-benzylsulfanyl)-phenyl]-4,5-dihydro-1H-imidazole; 2-[2-(2-methoxy-5-nitro-benzylsulfanyl)-phenyl]-4,5-dihydro-1H-imidazole; 2-[2-(2-methoxy-5-nitro-benzyloxy)-phenyl]-1,4,5,6-tetrahydropyrimidine; 2-[2-(2-bromo-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine; 2-[2-(3-iodo-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 15 2-[2-(2-methoxy-5-nitro-benzylsulfanyl)-phenyl]-3a,4,5,6,7,7a-hexahydro-1H-benzoimidazole; 2-{2-[2-(2-methoxy-naphthalen-1-yl)-ethyl]-phenyl}-1,4,5,6-tetrahydropyrimidine; 2-[2-(5-bromo-2-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydropyrimidine; 2-{2-[2-(2-methyl-naphthalen-1-yl)-ethyl]-phenyl}-1,4,5,6-tetrahydropyrimidine;
- 20 2-{2-[2-(2,3-dihydro-benzo[1,4]dioxin-5-yl)-ethyl]-phenyl}-1,4,5,6-tetrahydropyrimidine;

- 2-[2-(2-methoxy-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydropyrimidine;
 2-(2-Benzylsulfanyl-phenyl)-1,4,5,6-tetrahydro-pyrimidine;
 2-(2-Pentadecylsulfanyl-phenyl)-1,4,5,6-tetrahydro-pyrimidine;
 2-(2-Cyclohexylmethylsulfanyl-phenyl)-1,4,5,6-tetrahydro-pyrimidine;
 5 2-[2-(2-Methyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(3-Nitro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(3,5-Dimethoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(4-Fluoro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-Chloro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 10 2-[2-(2-Fluoro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2,4-Bis-trifluoromethyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(3-Methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(3,5-Bis-trifluoromethyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-Methoxy-5-nitro-benzyloxy)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 15 2-[2-(2-Chloro-6-fluoro-benzylsulfanyl)-phenyl]-4,5-dihydro-1H-imidazole;
 2-(2-Benzylsulfanyl-phenyl)-4,5-dihydro-1H-imidazole;
 2-[2-(2,6-Difluoro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(Naphthalen-1-ylmethoxy)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-Methyl-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 20 1-{2-[2-(2-Chloro-6-fluoro-benzylsulfanyl)-phenyl]-5,6-dihydro-4H-pyrimidin-1-yl}-
 ethanone;
 2-[2-(2-Chloro-6-fluoro-benzylsulfanyl)-phenyl]-3a,4,5,6,7,7a-hexahydro-1H-
 benzoimidazole;
 2-[2-(2-Iodo-benzylsulfanyl)-phenyl]-3a,4,5,6,7,7a-hexahydro-1H-benzoimidazole;
 25 2-[2-(2,5-Dimethyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 4-[2-(1,4,5,6-Tetrahydro-pyrimidin-2-yl)-phenylsulfanylmethyl]-quinoline;
 2-[2-(2-Methoxy-5-nitro-benzylsulfanyl)-pyridin-3-yl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-Methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-Cyclopentyloxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 30 2-[2-(2,3-Dihydro-benzo[1,4]dioxin-5-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-
 pyrimidine;
 2-[2-(6-Methoxy-2,3-dihydro-benzo[1,4]dioxin-5-ylmethylsulfanyl)-phenyl]-1,4,5,6-
 tetrahydro-pyrimidine;
 2-[2-(5-fluoro-2-methoxy-benzylsulfanyl)-phenyl]-4,5-dihydro-1H-imidazole;
 35 1-Methyl-2-[2-(naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-4,5-dihydro-1H-imidazole;
 2-[2-(5-Bromo-2-methoxy-benzyloxy)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(Naphthalen-1-yloxymethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;

- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-5,5-dimethyl-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-5,5-dimethyl-4,5-dihydro-1H-imidazole;
- 5 2-[2-(2,6-Dimethoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2-Bromo-6-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[5-Bromo-2-(5-bromo-2-methoxy-benzylsulfanyl)-phenyl]-4,5-dihydro-1H-imidazole;
- 2-[5-Bromo-2-(5-bromo-2-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 10 2-[4-Bromo-2-(5-bromo-2-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2-Bromo-5-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-5-methyl-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 15 2-[2-(Biphenyl-3-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Chloro-2-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2-Methoxy-5-thiophen-3-yl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(Biphenyl-2-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 20 2-[2-(5-Iodo-2-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-5-fluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 25 2-[2-(4,4'-Dimethoxy-biphenyl-3-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(9H-Fluoren-9-ylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(3'-Chloro-4'-fluoro-4-methoxy-biphenyl-3-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 30 2-[2-(1-Naphthalen-1-yl-ethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-5-fluoro-phenyl]-4,5-dihydro-1H-imidazole;
- 2-(2-Benzhydrylsulfanyl-phenyl)-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2'-Fluoro-4"-methoxy-[1,1';4',1"]terphenyl-3"-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 35 2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzamidine;
- 2-[4-(Naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Ethynyl-2-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-1,4,5,6-tetrahydro-pyrimidine;

- 2-[2-(5-Bromo-2-cyclopentyloxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-ethoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-propoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 5 [2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-diethyl-amine;
- 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-piperazine;
- C-{4-[3-(5-Bromo-2-methoxy-benzylsulfanyl)-quinoxalin-2-yl]-morpholin-2-yl}-methylamine;
- 2-[2-(2-Methoxy-5-methyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 10 2-[2-(5-Bromo-2-methoxy-benzylloxymethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- [2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-dimethyl-amine;
- 2-[2-(5-Bromo-2-isopropoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2-Ethoxy-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2-Propoxy-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 15 4-Methoxy-3-[2-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenylsulfanylmethyl]-benzonitrile;
- 1-{4-Methoxy-3-[2-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenylsulfanylmethyl]-phenyl}-ethanone;
- 2-[2-(Naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 20 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-piperidine;
- C-{4-[2-(2-Methoxy-naphthalen-1-ylmethylsulfanyl)-benzyl]-morpholin-2-yl}-methylamine;
- 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-pyrrolidin-3-ylamine;
- 1-[2-(2-Methoxy-naphthalen-1-ylmethylsulfanyl)-benzyl]-pyrrolidin-3-ylamine;
- 25 3-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-1,5,6,7,8,8a-hexahydro-imidazo[1,5-a]pyridine;
- 3-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-5,6,7,7a-tetrahydro-1H-pyrrolo[1,2-c]imidazole;
- 2-[2-(Benzo[b]thiophen-3-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 30 2-[3-Fluoro-2-(naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-(Naphthalen-1-ylmethylsulfanyl)-3-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenylamine;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2-Methoxy-phenylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 35 1-{2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-5,6-dihydro-4H-pyrimidin-1-yl}-3-methyl-butan-1-one;
- 1-{2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-5,6-dihydro-4H-pyrimidin-1-yl}-2-phenyl-ethanone;

- 2-[3-(5-Bromo-2-methoxy-benzylsulfanyl)-pyridin-2-yl]-1,4,5,6-tetrahydro-pyrimidine;
 N-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-guanidine;
 2-[2-(2-Isopropoxy-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 5 2-[2-(2-Cyclopentyloxy-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 (5-Bromo-2-methoxy-benzyl)-[2-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenyl]-amine;
 2-[2-(5-Bromo-2-methoxy-benzylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 10 2-[2-(2-Methoxy-naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[3-(5-Bromo-2-methoxy-benzylsulfanyl)-pyrazin-2-yl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[3-Chloro-2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(6-Bromo-2-methoxy-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 15 2-[3-Chloro-2-(2-methoxy-naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(5-Bromo-2-methoxy-phenylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 20 2-[2-(5-Bromo-2-methoxy-phenylsulfanylmethyl)-3-chloro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[1-(2-Naphthalen-1-yl-ethyl)-1H-pyrrol-2-yl]-1,4,5,6-tetrahydro-pyrimidine;
 (5-Bromo-2-methoxy-benzyl)-methyl-[2-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenyl]-amine;
 25 2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzylamine;
 2-[2-(2-Chloro-phenylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-Bromo-phenylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-(2-o-Tolylsulfanylmethyl-phenyl)-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2,5-Dichloro-phenylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 30 2-(3-Amino-propylamino)-6-(5-bromo-2-methoxy-benzylsulfanyl)-benzonitrile;
 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-1,4,5,6-tetrahydro-pyrimidine;
 [2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-diethyl-amine;
 4-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-morpholine;
 3'-[5-Bromo-2-methoxy-benzylsulfanyl]-3,4,5,6-tetrahydro-2H-[1,2']bipyrazinyl;
 35 2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-piperazin-1-yl-6,7-dihydro-quinoline;
 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-piperidine;
 C-{4-[2-(2-Methoxy-naphthalen-1-ylmethylsulfanyl)-benzyl]-morpholin-2-yl}-methylamine;

- 1-[3-(5-Bromo-2-methoxy-benzylsulfanyl)-pyrazin-2-yl]-pyrrolidin-3-ylamine;
 1-[3-(5-Bromo-2-methoxy-benzylsulfanyl)-quinoxalin-2-yl]-pyrrolidin-3-ylamine;
 1-[2-(2-Methoxy-naphthalen-1-ylmethylsulfanyl)-benzyl]-pyrrolidin-3-ylamine;
 C-{4-[3-(5-Bromo-2-methoxy-benzylsulfanyl)-pyrazin-2-yl]-morpholin-3-yl}-
 5 methylamine;
 1-[3-Fluoro-2-(2-naphthalen-1-yl-ethyl)-benzyl]-piperazine;
 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-azetidine;
 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-pyrrolidin-3-ol;
 [2-(Naphthalen-1-ylmethylsulfanyl)-phenyl]-carbamic acid 1-aza-bicyclo[2.2.2]oct-3-yl
 10 ester;
 [2-(2-Methyl-naphthalen-1-ylmethylsulfanyl)-phenyl]-carbamic acid 1-aza-
 bicyclo[2.2.2]oct-3-yl ester;
 [2-(2-Methyl-naphthalen-1-ylmethylsulfanyl)-phenyl]-carbamic acid 2-piperidin-1-yl-
 ethyl ester;
 15 {1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-pyrrolidin-2-yl}-
 methanol;
 4-tert-Butyl-N-naphthalen-1-ylmethyl-N-(2-piperidin-1-yl-ethyl)-benzamide;
 N,N-Dimethyl-N'-naphthalen-2-ylmethyl-N'-naphthalen-1-ylmethyl-propane-1,3-
 diamine;
 20 N-(5-Bromo-2-methoxy-benzyl)-N',N'-dimethyl-N-naphthalen-1-ylmethyl-propane-1,3-
 diamine;
 1-Naphthalen-1-ylmethyl-3-phenethyl-1-(2-piperidin-1-yl-ethyl)-thiourea;
 3-(4-Dimethylamino-phenyl)-1-(3-dimethylamino-propyl)-1-naphthalen-1-ylmethyl-
 thiourea;
 25 4-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzylamino]-piperidine-1-
 carboxylic acid ethyl ester;
 2-[2-(2-Naphthalen-1-yl-ethyl)-phenyl]-ethylamine;
 Naphthalene-2-sulfonic acid (2-dimethylamino-ethyl)-naphthalen-1-ylmethyl-amide;
 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-2-methoxymethyl-
 30 pyrrolidine;
 (2-Hexyloxy-phenyl)-carbamic acid 2-piperidin-1-yl-1-piperidin-1-ylmethyl-ethyl ester;
 3-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyloxy]-pyrrolidine;
 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyloxymethyl]-pyrrolidine;
 2-[2-(Naphthalen-1-ylsulfanylmethyl)-phenyl]-piperidine;
 35 3-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzylamino]-propan-1-ol;
 3-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzylamino]-3-methyl-butan-1-ol;
 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-pyrrolidin-3-ol;
 {1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-pyrrolidin-2-yl}-methanol;

- {1-[2-(Naphthalen-1-ylsulfanylmethyl)-benzyl]-piperidin-2-yl}-methanol;
- 2-[2-(Naphthalen-1-ylsulfanylmethyl)-pyrrolidin-1-yl]-ethyl-N-pyrrolidine;
- N-pyrrolyl-[1-(2-naphthalen-1-yl-ethyl)-pyrrolidin-2-ylmethyl]-amine;
- 1-(2-Naphthalen-1-yl-ethyl)-piperidine-2-carboxylic acid methyl ester;
- 5 (3-Bromo-benzyl)-(1-ethyl-pyrrolidin-2-ylmethyl)-naphthalen-1-ylmethyl-amine;
- 3-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyloxy]-piperidine;
- (5-Bromo-2-methoxy-benzyl)-(1-ethyl-pyrrolidin-2-ylmethyl)-naphthalen-1-ylmethyl-amine;
- (1-Ethyl-pyrrolidin-2-ylmethyl)-naphthalen-2-ylmethyl-naphthalen-1-ylmethyl-amine;
- 10 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyloxymethyl]-pyrrolidine;
- (3-Bromo-benzyl)-(3-imidazol-1-yl-propyl)-naphthalen-1-ylmethyl-amine;
- (3-Imidazol-1-yl-propyl)-naphthalen-2-ylmethyl-naphthalen-1-ylmethyl-amine;
- [2-(Naphthalen-1-ylmethylsulfanyl)-phenyl]-carbamic acid 2-piperidin-1-yl-1-piperidin-1-ylmethyl-ethyl ester;
- 15 [2-(Naphthalen-1-ylmethylsulfanyl)-phenyl]-carbamic acid 2-dimethylamino-ethyl ester;
- 1-[2-(Naphthalen-1-ylsulfanylmethyl)-benzyl]-piperazine;
- [3-(2-Methyl-piperidin-1-yl)-propyl]-[2-(naphthalen-1-ylsulfanylmethyl)-benzyl]-amine;
- 1-[3-Chloro-2-(naphthalen-1-ylsulfanylmethyl)-benzyl]-piperazine;
- 20 N,N-Dimethyl-N'-(2-naphthalen-1-yl-ethyl)-N'-naphthalen-1-ylmethyl-ethane-1,2-diamine;
- {1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-piperidin-2-yl}-methanol;
- 1-[2-(2-Naphthalen-1-yl-ethyl)-benzyl]-piperazine;
- [3-(2-Methyl-piperidin-1-yl)-propyl]-[2-(2-naphthalen-1-yl-ethyl)-benzyl]-amine;
- 25 1-[3-Fluoro-2-(2-naphthalen-1-yl-ethyl)-benzyl]-piperazine;
- {1-[3-Chloro-2-(naphthalen-1-ylsulfanylmethyl)-benzyl]-piperidin-2-yl}-methanol;
- {1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-piperidin-2-yl}-methanol;
- {1-[2-(2-Naphthalen-1-yl-ethyl)-benzyl]-piperidin-2-yl}-methanol;
- [3-(2-Methyl-piperidin-1-yl)-propyl]-[2-(2-naphthalen-1-yl-ethyl)-benzyl]-amine;
- 30 1-[2-(2-Naphthalen-1-yl-ethyl)-benzyl]-pyrrolidin-3-ylamine;
- 1-Phenyl-3-piperazin-1-yl-5,6,7,8-tetrahydro-isoquinoline-4-carbonitrile;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-6-ethyl-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(4-Methoxy-biphenyl-3-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 35 2-[2-(2-Methoxy-5-phenylethynyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2-Naphthalen-1-yl-ethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;

- 2-[3-(2-Methoxy-naphthalen-1-ylsulfanylmethyl)-thiophen-2-yl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2,5-Dimethoxy-phenylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(4-Methyl-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 5 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-4,4-dimethyl-4,5-dihydro-1H-imidazole;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-5,5-dimethyl-1,4,5,6-tetrahydro-pyrimidine;
- 2-[3-(Naphthalen-1-ylsulfanylmethyl)-thiophen-2-yl]-1,4,5,6-tetrahydro-pyrimidine;
- 10 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-methoxy-phenylsulfanylmethyl)-3-fluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 15 2-[2-(Naphthalen-1-ylsulfanylmethyl)-phenyl]-4,5-dihydro-1H-imidazole;
- 2-[3-Fluoro-2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[3-Bromo-2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 20 2-[2-(2-Methoxy-5-trifluoromethyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[4-(Naphthalen-1-ylsulfanylmethyl)-thiophen-3-yl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(Naphthalen-1-ylsulfanylmethyl)-thiophen-3-yl]-1,4,5,6-tetrahydro-pyrimidine;
- 25 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-trifluoromethyl-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2-Naphthalen-1-yl-ethyl)-3-trifluoromethyl-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(6-Fluoro-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- {1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-piperidin-2-yl}-methanol;
- 30 2-[3-Fluoro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- [2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-[3-(2-methyl-piperidin-1-yl)-propyl]-amine;
- 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-pyrrolidin-3-ylamine;
- 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-piperazine;
- 35 5,5-Dimethyl-2-[2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-1H-imidazole;
- 2-[3-Fluoro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-5,5-dimethyl-4,5-dihydro-1H-imidazole;

- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3,5-difluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3,5-difluoro-phenyl]-5,5-dimethyl-4,5-dihydro-1H-imidazole;
- 5 3-(2-Naphthalen-1-yl-ethyl)-2-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenylamine;
Amino-[2-(2-naphthalen-1-yl-ethyl)-phenyl]-acetonitrile;
1-[2-(2-Naphthalen-1-yl-ethyl)-phenyl]-ethane-1,2-diamine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-4-methyl-4,5-dihydro-1H-imidazole;
- 10 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-4-methyl-4,5-dihydro-1H-imidazole;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-phenyl]-4-methyl-4,5-dihydro-1H-imidazole;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3,4-difluoro-phenyl]-1,4,5,6-tetrahydro-
- 15 pyrimidine;
2-[3-Fluoro-2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-5,5-dimethyl-4,5-dihydro-1H-imidazole;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-1-methyl-ethyl]-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 20 2-[2-(5-Bromo-2-methoxy benzyl sulfanyl)-3-fluoro-4-trifluoromethyl-phenyl]-4,4-dimethyl-4,5-dihydro-1H-imidazole;
2-[2-(5-Bromo-2-methoxy-benzyl sulfanyl)-3-fluoro-4-trifluoromethyl-phenyl]-5,5-dimethyl-1,4,5,6-tetrahydro-pyrimidine;
2-[3-Methoxy-2-(2-naphthalen-1-yl-ethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 25 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-phenyl]-1,4,5,6-tetrahydro-pyrimidin-5-ol;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-methoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
1-Amino-3-[2-(5-bromo-2-methoxy-phenyl)-7-chloro-benzo[b]thiophen-3-ylamino]-
- 30 propan-2-ol;
2-[2-(1-Methyl-2-naphthalen-1-yl-ethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
3-(5-Bromo-2-methoxy-benzylsulfanyl)-2-fluoro-4-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenylamine;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-methyl-phenyl}-1,4,5,6-tetrahydro-
- 35 pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidin-5-ol;

- 1-Amino-3-[2-(5-bromo-2-methoxy-phenyl)-7-fluoro-benzo[b]thiophen-3-ylamino]-propan-2-ol;
- 2-[3-Methoxy-2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 5 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidin-5-ol;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-5-methoxy-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-Chloro-6-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenyl]-ethyl}-phenol;
- 10 2-[3-Methoxy-2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidin-5-ol;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-methyl-phenyl}-5-methyl-4,5-dihydro-1H-imidazole;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-methyl-phenyl}-1,4,5,6-tetrahydro-pyrimidin-5-ol;
- 15 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3,4-difluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidin-5-ol;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,4-dimethyl-4,5-dihydro-1H-imidazole;
- 20 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-4-methyl-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 4,4-Dimethyl-2-[2-(naphthalen-1-ylmethylsulfanyl)-phenyl]-4,5-dihydro-oxazole;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-4-methoxy-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 25 2-[5-(5-Bromo-2-methoxy-benzyl)-2-methyl-thiophen-3-yl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-thiophen-3-yl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-ethoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 30 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-isopropoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-4-methoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 35 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-isopropoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidin-5-ol;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-methoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidin-5-ol;

- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-4-methoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-ethoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidin-5-ol;
- 5 2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-benzonitrile;
- 2-{3-Benzoyloxy-2-[2-(5-bromo-2-methoxy-phenyl)-ethyl]-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-4-butyl-phenyl}-1,4,5,6-tetrahydro-
- 10 pyrimidine;
- 2-{5-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-2,3-dihydro-benzo[1,4]dioxin-6-yl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-{5-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-8-chloro-2,3-dihydro-benzo[1,4]dioxin-6-yl}-1,4,5,6-tetrahydro-pyrimidine;
- 15 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-ethyl-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-propyl-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-butoxy-phenyl}-1,4,5,6-tetrahydro-
- 20 pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-isobutoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-butoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidin-5-ol;
- 25 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-5-methoxy-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-methyl-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-1-methyl-4,5-dihydro-1H-
- 30 imidazole;
- [2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-1-methyl-4,5-dihydro-1H-imidazole;
- 2-{2-[3-(1,4,5,6-Tetrahydro-pyrimidin-2-yl)-biphenyl-2-yl]-ethyl}-phenol;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-methyl-4,5-dihydro-
- 35 1H-imidazole;
- N-(3-Amino-propyl)-2-[2-(5-bromo-2-methoxy-phenyl)-ethyl]-6-methoxy-benzamide;
- N-(3-Amino-propyl)-2-[2-(5-bromo-2-methoxy-phenyl)-ethyl]-6-methyl-benzamide;

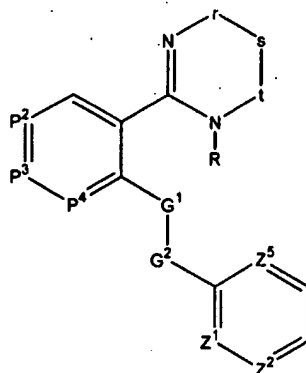
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-5,6-dihydro-4H-pyrimidine-1-carboxylic acid 1-acetoxy-2-methyl-propyl ester;
 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-5,6-dihydro-4H-pyrimidine-1-carboxylic acid 1-acetoxy-ethyl ester;
- 5 3-(5-Bromo-2-methoxy-phenyl)-5-chloro-3,4-dihydro-isoquinolin-1-ylamine;
 2-[2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-(4-methoxy-benzoyloxy)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5-methyl-1,4,5,6-tetrahydro-pyrimidin-5-ol;
- 10 2-[(5-Bromo-2-methoxy-phenyl)-(3-piperidin-1-yl-propylamino)-methyl]-3-chloro-6-methyl-phenol;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-methyl-benzyl}-piperazine;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-methyl-benzyl}-4-methyl-piperazine;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-methyl-benzyl}-piperidine;
- 15 {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-methyl-benzyl}-diethyl-amine;
 3-(5-Bromo-2-methoxy-phenyl)-1,2,3,4-tetrahydro-isoquinoline;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-piperazine;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-4-methyl-piperazine;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-piperidine;
- 20 {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-diethyl-amine;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-1H-imidazole;
 (1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-piperidin-2-yl)-methanol;
- 25 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-propoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-4-methyl-piperazine;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-piperazine;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-4-methyl-piperazine;
- 30 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-piperidine;
 {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-diethyl-amine;
 1-(5-Bromo-2-methoxy-benzyl)-2-(4-methoxy-benzyl)-2,3-dihydro-1H-isoindole;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5,6-dihydro-4H-pyrimidine-1-carboxylic acid 1-acetoxy-ethyl ester;
- 35 1-(2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5,6-dihydro-4H-pyrimidin-1-yl)-ethanone;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-4-methyl-piperazine;
 {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-diethyl-amine;

- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-piperidine;
 (1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-piperidin-2-yl)-
 methanol;
 4-Fluoro-N-{2-[4-(2-methoxy-phenyl)-piperazin-1-yl]-ethyl}-N-pyridin-2-yl-
 5 benzamide;
 3-(5-Bromo-2-methoxy-phenyl)-2-methyl-1,2,3,4-tetrahydro-isoquinoline;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-piperazine;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-4-methyl-piperazine;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-piperidine;
 10 {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-diethyl-amine;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-1H-
 imidazole;
 (1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-piperidin-2-yl)-
 methanol;
 15 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-propoxy-phenyl}-1,4,5,6-tetrahydro-
 pyrimidine;
 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-4-methyl-piperazine;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-piperazine;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-4-methyl-piperazine;
 20 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-piperidine;
 {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-diethyl-amine;
 1-(5-Bromo-2-methoxy-benzyl)-2-(4-methoxy-benzyl)-2,3-dihydro-1H-isoindole;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5,6-dihydro-4H-
 pyrimidine-1-carboxylic acid 1-acetoxy-ethyl ester;
 25 1-(2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5,6-dihydro-4H-
 pyrimidin-1-yl)-ethanone;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-4-methyl-piperazine;
 {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-diethyl-amine;
 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-piperidine;
 30 4-Fluoro-N-{2-[4-(2-methoxy-phenyl)-piperazin-1-yl]-ethyl}-N-pyridin-2-yl-
 benzamide;
 3-(5-Bromo-2-methoxy-phenyl)-2-methyl-1,2,3,4-tetrahydro-isoquinoline;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-ethyl-4,5-dihydro-1H-
 imidazole;
 35 {2-[3-(5-Bromo-2-methoxy-phenyl)-3,4-dihydro-1H-isoquinolin-2-yl]-ethyl}-diethyl-
 amine;
 1-(2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-
 imidazol-1-yl)-ethanone;

- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-imidazole-1-carboxylic acid ethyl ester;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-imidazole-1-carboxylic acid isobutyl ester;
5 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-imidazole-1-carboxylic acid tert-butyl ester;
1-(2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-imidazol-1-yl)-2,2-dimethyl-propan-1-one;
1-(5-Bromo-2-methoxy-benzyl)-2,3-dihydro-1H-isoindole;
10 1-(2-Methoxy-benzyl)-2-methyl-2,3-dihydro-1H-isoindole;
2-Methyl-1-naphthalen-1-ylmethyl-2,3-dihydro-1H-isoindole;
1-{2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-2,2-dimethyl-propan-1-one;
{2-[1-(5-Bromo-2-methoxy-benzyl)-1,3-dihydro-isoindol-2-yl]-ethyl}-diethyl-amine;
15 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-1-methyl-1,4,5,6-tetrahydro-pyrimidine;
2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-5,6-dihydro-4H-pyrimidine-1-carboxylic acid tert-butyl ester;
2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-1H-imidazole;
20 1-{2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-ethanone;
2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-imidazole-1-carboxylic acid isobutyl ester;
2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-imidazole-1-carboxylic acid tert-butyl ester;
25 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-imidazole-1-carboxylic acid ethyl ester;
2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-N-(3-formylamino-propyl)-6-methyl-benzamide;
30 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-1-ethyl-4,5-dihydro-1H-imidazole;
1-(2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5,6-dihydro-4H-pyrimidin-1-yl)-2,2-dimethyl-propan-1-one;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-thiophen-3-yl}-4,5-dihydro-1H-imidazole;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5,6-dihydro-4H-
35 pyrimidine-1-carboxylic acid isobutyl ester;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-isocyanomethyl-4,5-dihydro-1H-imidazole;

- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-thiophen-3-yl}-1-methyl-4,5-dihydro-1H-imidazole;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-thiophen-3-yl}-1-ethyl-4,5-dihydro-1H-imidazole;
- 5 3-(5-Bromo-2-methoxy-benzyl)-2-methyl-2,3-dihydro-isoindol-1-one;
- 4-(2-Methoxy-benzyl)-2-(4-methoxy-benzyl)-1,2,3,4-tetrahydro-isoquinoline;
- 4-(5-Bromo-2-methoxy-benzyl)-2-(4-methoxy-benzyl)-1,2,3,4-tetrahydro-isoquinoline;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-propyl-4,5-dihydro-1H-imidazole;
- 10 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-3a,4,5,6,7,7a-hexahydro-1H-benzoimidazole;
- 5,5-Dimethyl-2-[2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-4,5-dihydro-1H-imidazole;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-phenyl]-4,4-dimethyl-4,5-dihydro-1H-imidazole;
- 15 N-(5-Bromo-2-methoxy-benzyl)-N'-methyl-N-naphthalen-1-ylmethyl-ethane-1,2-diamine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-ethyl-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-N,N,N'-trimethyl-benzamidine;
- 20 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-1-methyl-4,5-dihydro-1H-imidazole;
- 1-Benzyl-2-{2-[2-(5-bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-1H-imidazole;
- ((2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl)-pyrrolidin-1-yl-methylene)-methyl-amine;
- 25 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-isopropyl-4,5-dihydro-1H-imidazole;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-(2,2,2-trifluoro-ethyl)-4,5-dihydro-1H-imidazole;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-6-ethyl-1,4,5,6-tetrahydro-
- 30 pyrimidine, and pharmaceutically acceptable salts thereof.

103. An MC4-R binding compound of the formula (IX):



(IX)

wherein:

5 P^2 is CH, CF, CCl, CBr, C-alkyl, C-aryl, C-alkoxy, C-CN, C-OH, Cl, or a covalent bond;

P^3 is CH, CF, CCl, CBr, C-alkyl, C-aryl, C-alkoxy, C-CN, C-OH, or Cl;

P^4 is CH, CCl, CBr, CF, C-alkyl, C-aryl, C-alkoxy, C-CN, C-OH, Cl or a sulfur atom;

10 G^1 and G^2 are each independently CH_2 , S, or O;

r is a covalent bond or CH_2 ;

t is CH_2 , CHR^3 , or CR^3R^4 ;

s is CH_2 , CHR^5 or CR^5R^6 ;

R is hydrogen, alkyl, alkoxycarbonyl, or alkylcarbonyl;

Z^1 is CH, or covalently linked to Z^2 to form a naphthyl ring;

15 Z^2 is CH, C-($C\equiv CH$), CCl, CBr, Cl, CF, or covalently linked to Z^1 to form a naphthyl ring;

Z^5 is CH, or C-alkoxy;

R^3 , R^4 , R^5 , and R^6 are methyl, ethyl, hydroxyl, alkoxy, halogen, cyano, nitro, or amino, or pharmaceutically acceptable salts thereof.

20

104. The compound of claim 103, wherein Z^1 is CH, Z^2 is CBr and Z^5 is C-OMe.

105. The compound of claim 103, wherein P^2 is CH.

25 106. The compound of claim 103, wherein P^4 is CCl or CF.

107. The compound of claim 103, wherein G^1 and G^2 are each CH_2 .

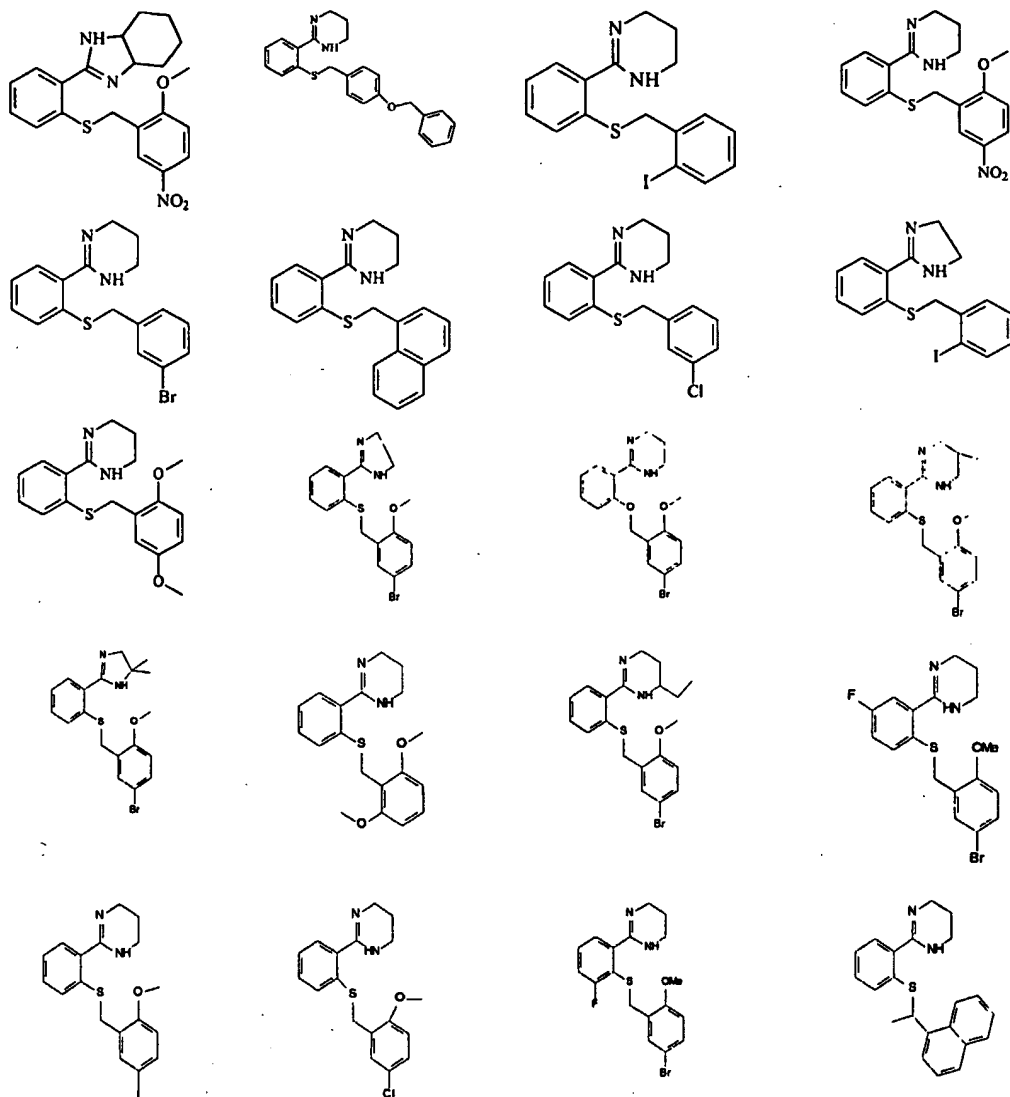
108. The compound of claim 103, wherein G^1 and G^2 together are $-CH_2-S-$ or $-S-$
30 CH_2- .

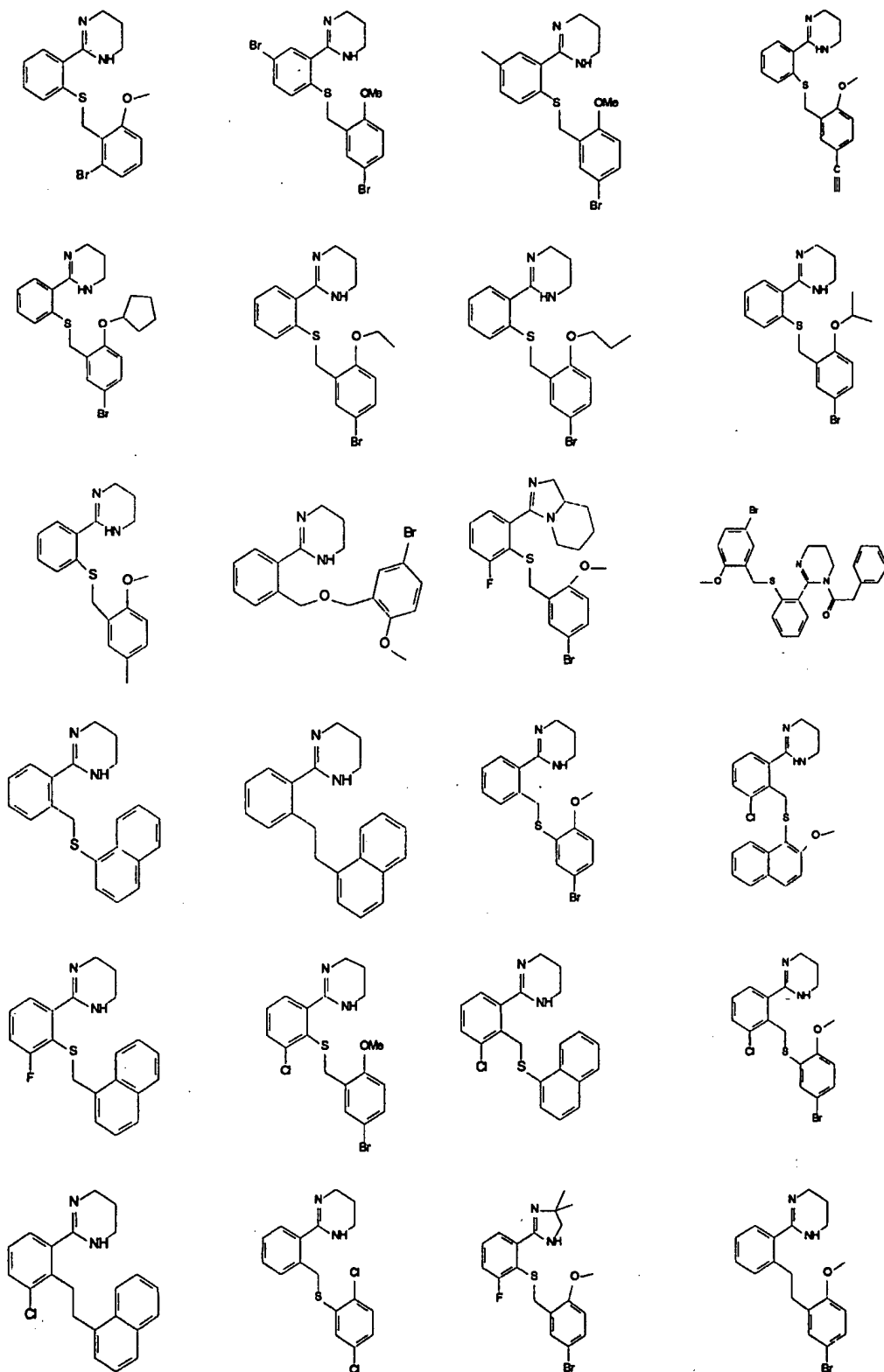
109. The compound of claim 103, wherein Z^1 and Z^2 are linked to form a naphthyl ring.

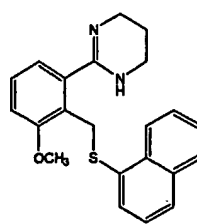
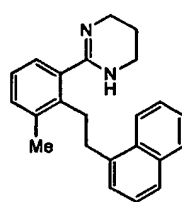
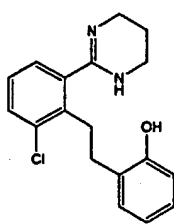
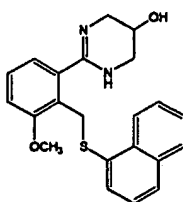
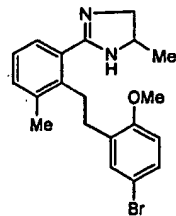
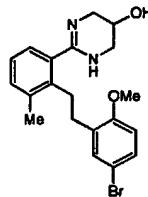
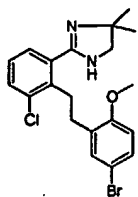
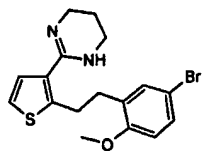
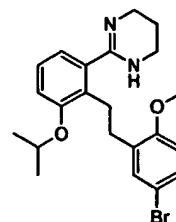
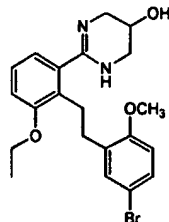
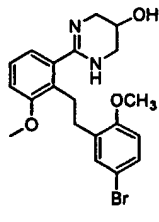
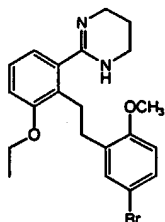
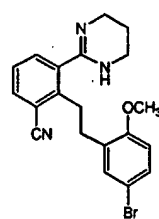
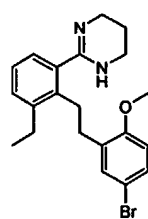
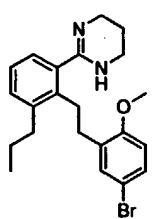
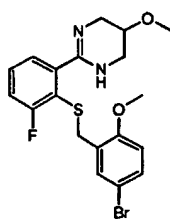
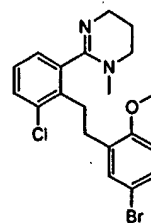
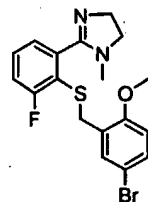
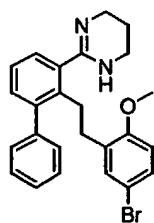
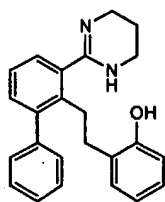
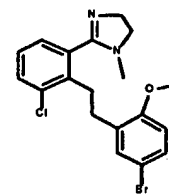
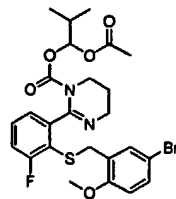
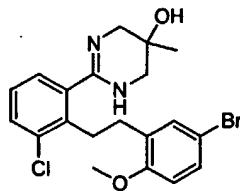
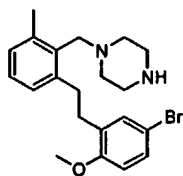
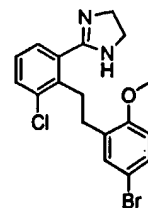
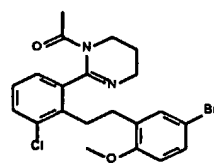
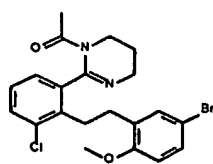
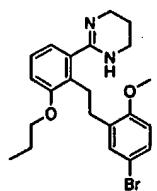
110. The compound of claim 103, wherein r is a covalent bond, s is R^5R^6 , and t is R^3R^4 , wherein each of R^3 , R^4 , R^5 and R^6 are each independently alkyl, hydroxy, or hydrogen.

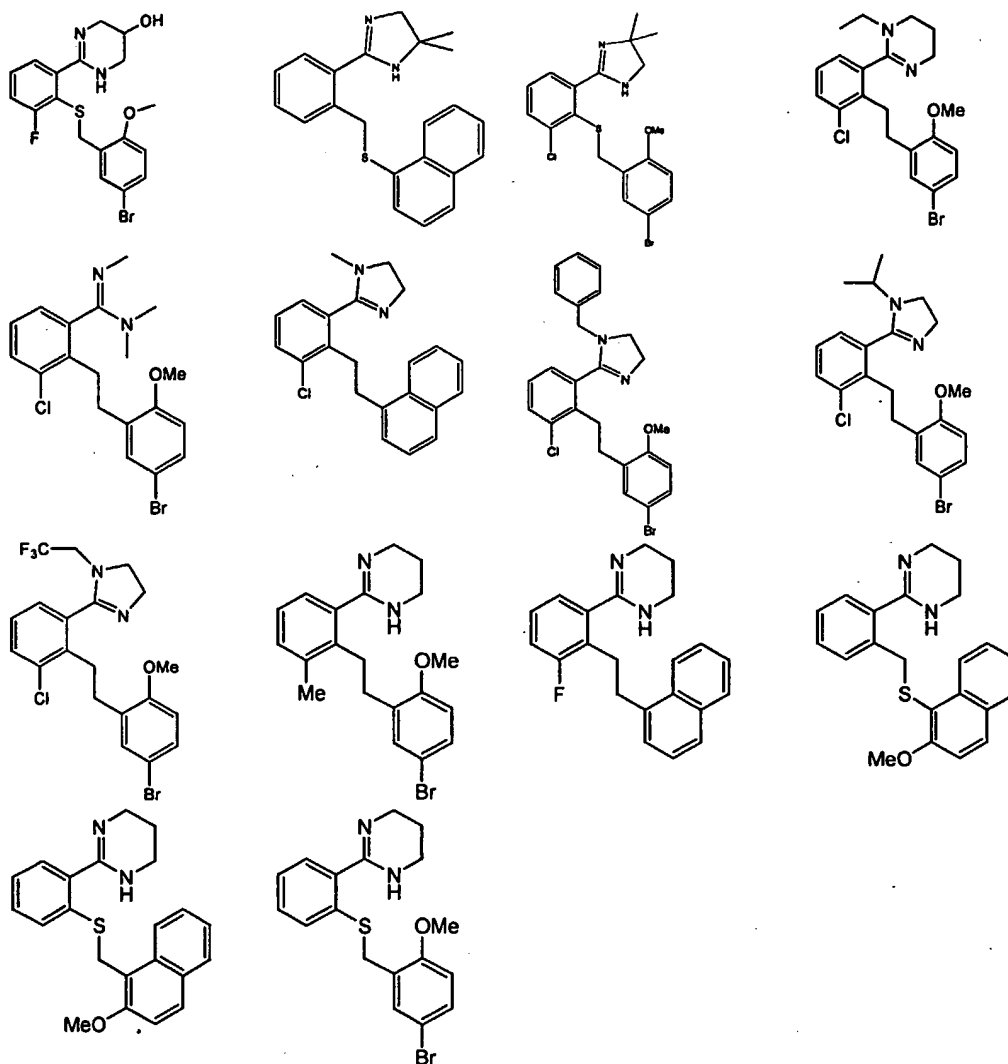
111. An MC4-R binding compound or pharmaceutically acceptable salts thereof, wherein said compound is selected from the group consisting of:

10









112. A pharmaceutical composition for the treatment of a MC4-R associated state in a mammal comprising a pharmaceutically acceptable carrier and an effective amount of an MC4-R binding compound of the formula (I):

5

B-Z-E**(I)**

wherein

B is an anchor moiety;

Z is a central moiety;

E is a MC4-R interacting moiety; and

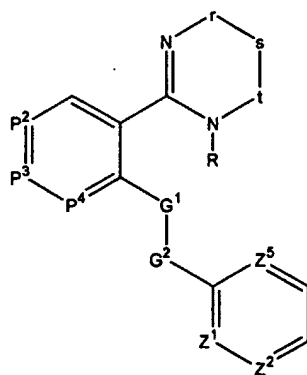
pharmaceutically acceptable salts thereof.

10

113. The pharmaceutical composition of claim 112, wherein said MC4-R binding compound is an MC4-R antagonist.

15

114. The pharmaceutical composition of claim 112, wherein said MC4-R associated state is associated with pigmentation.
115. The pharmaceutical composition of claim 112, wherein said MC4-R associated state is associated with weight loss.
116. The pharmaceutical composition of claim 115, wherein said weight loss is a result of old age, anorexia nervosa, HIV cachexia or cancer cachexia.
117. The pharmaceutical composition of claim 112, wherein said mammal is a human.
118. The pharmaceutical composition of claim 112, wherein said MC4-R binding compound is of the formula (IX):



(IX)

wherein:

P^2 is CH, CF, CCl, CBr, C-alkyl, C-aryl, C-alkoxy, C-CN, C-OH, Cl, or a covalent bond;

P^3 is CH, CF, CCl, CBr, C-alkyl, C-aryl, C-alkoxy, C-CN, C-OH, or Cl;

P^4 is CH, CCl, CBr, CF, C-alkyl, C-aryl, C-alkoxy, C-CN, C-OH, Cl, or a sulfur atom;

G^1 and G^2 are each independently CH_2 , S, or O;

r is a covalent bond or CH_2 ;

t is CH_2 , CHR^3 , or CR^3R^4 ;

s is CH_2 , CHR^5 or CR^5R^6 ;

R is hydrogen, alkyl, alkoxy, carbonyl, or alkylcarbonyl;

Z^1 is CH, or covalently linked to Z^2 to form a naphthyl ring;

Z^2 is CH, C-(C \equiv CH), CCl, CBr, Cl, CF, or covalently linked to Z^1 to form a naphthyl ring;

Z^5 is CH, or alkoxy;

R^3 , R^4 , R^5 , and R^6 are methyl, ethyl, hydroxyl, alkoxy, halogen, cyano, nitro, or amino, or pharmaceutically acceptable salts thereof.

119. The pharmaceutical composition of claim 112, wherein said MC4-R binding
 5 compound is selected from the group consisting of: 2-[2-(4-benzyloxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-iodo-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-methoxy-5-nitro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 10 2-[2-(3-chloro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2,5-dimethoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(3-bromo-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-iodo-benzylsulfanyl)-phenyl]-4,5-dihydro-1H-imidazole;
 2-[2-(2-methoxy-5-nitro-benzylsulfanyl)-phenyl]-4,5-dihydro-1H-imidazole;
 15 2-[2-(2-methoxy-5-nitro-benzyloxy)-phenyl]-1,4,5,6-tetrahydropyrimidine;
 2-[2-(2-bromo-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(3-iodo-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-methoxy-5-nitro-benzylsulfanyl)-phenyl]-3a,4,5,6,7,7a-hexahydro-1H-benzoimidazole;
 20 2-{2-[2-(2-methoxy-naphthalen-1-yl)-ethyl]-phenyl}-1,4,5,6-tetrahydropyrimidine;
 2-[2-(5-bromo-2-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydropyrimidine;
 2-{2-[2-(2-methyl-naphthalen-1-yl)-ethyl]-phenyl}-1,4,5,6-tetrahydropyrimidine;
 2-{2-[2-(2,3-dihydro-benzo[1,4]dioxin-5-yl)-ethyl]-phenyl}-1,4,5,6-tetrahydropyrimidine;
 25 2-[2-(2-methoxy-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydropyrimidine;
 2-(2-Benzylsulfanyl-phenyl)-1,4,5,6-tetrahydro-pyrimidine;
 2-(2-Pentadecylsulfanyl-phenyl)-1,4,5,6-tetrahydro-pyrimidine;
 2-(2-Cyclohexylmethylsulfanyl-phenyl)-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-Methyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 30 2-[2-(3-Nitro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(3,5-Dimethoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(4-Fluoro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-Chloro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-Fluoro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 35 2-[2-(2,4-Bis-trifluoromethyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(3-Methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(3,5-Bis-trifluoromethyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(2-Methoxy-5-nitro-benzyloxy)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;

- 2-[2-(2-Chloro-6-fluoro-benzylsulfanyl)-phenyl]-4,5-dihydro-1H-imidazole;
2-(2-Benzylsulfanyl-phenyl)-4,5-dihydro-1H-imidazole;
2-[2-(2,6-Difluoro-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(Naphthalen-1-ylmethoxy)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
5 2-[2-(2-Methyl-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
1-{2-[2-(2-Chloro-6-fluoro-benzylsulfanyl)-phenyl]-5,6-dihydro-4H-pyrimidin-1-yl}-
ethanone;
2-[2-(2-Chloro-6-fluoro-benzylsulfanyl)-phenyl]-3a,4,5,6,7,7a-hexahydro-1H-
benzoimidazole;
10 2-[2-(2-Iodo-benzylsulfanyl)-phenyl]-3a,4,5,6,7,7a-hexahydro-1H-benzoimidazole;
2-[2-(2,5-Dimethyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
4-[2-(1,4,5,6-Tetrahydro-pyrimidin-2-yl)-phenylsulfanylmethyl]-quinoline;
2-[2-(2-Methoxy-5-nitro-benzylsulfanyl)-pyridin-3-yl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(2-Methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
15 2-[2-(2-Cyclopentyloxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(2,3-Dihydro-benzo[1,4]dioxin-5-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-
pyrimidine;
2-[2-(6-Methoxy-2,3-dihydro-benzo[1,4]dioxin-5-ylmethylsulfanyl)-phenyl]-1,4,5,6-
tetrahydro-pyrimidine;
20 2-[2-(5-fluoro-2-methoxy-benzylsulfanyl)-phenyl]-4,5-dihydro-1H-imidazole;
1-Methyl-2-[2-(naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-4,5-dihydro-1H-imidazole;
2-[2-(5-Bromo-2-methoxy-benzylloxy)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(Naphthalen-1-yloxymethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
25 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-5,5-dimethyl-1,4,5,6-tetrahydro-
pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-5,5-dimethyl-4,5-dihydro-1H-
imidazole;
2-[2-(2,6-Dimethoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
30 2-[2-(2-Bromo-6-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[5-Bromo-2-(5-bromo-2-methoxy-benzylsulfanyl)-phenyl]-4,5-dihydro-1H-imidazole;
2-[5-Bromo-2-(5-bromo-2-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-
pyrimidine;
2-[4-Bromo-2-(5-bromo-2-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-
35 pyrimidine;
2-[2-(2-Bromo-5-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-5-methyl-phenyl]-1,4,5,6-tetrahydro-
pyrimidine;

- 2-[2-(Biphenyl-3-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Chloro-2-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(2-Methoxy-5-thiophen-3-yl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
5 2-[2-(Biphenyl-2-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Iodo-2-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-5-fluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
10 2-[2-(4,4'-Dimethoxy-biphenyl-3-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(9H-Fluoren-9-ylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(3'-Chloro-4'-fluoro-4-methoxy-biphenyl-3-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
15 2-[2-(1-Naphthalen-1-yl-ethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-5-fluoro-phenyl]-4,5-dihydro-1H-imidazole;
2-(2-Benzhydrylsulfanyl-phenyl)-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(2'-Fluoro-4"-methoxy-[1,1';4',1"]terphenyl-3"-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
20 2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzamidine;
2-[4-(Naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Ethynyl-2-methoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-1,4,5,6-tetrahydro-pyrimidine;
25 2-[2-(5-Bromo-2-cyclopentyloxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-ethoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-propoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-diethyl-amine;
30 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-piperazine;
C-{4-[3-(5-Bromo-2-methoxy-benzylsulfanyl)-quinoxalin-2-yl]-morpholin-2-yl}-methylaniline;
2-[2-(2-Methoxy-5-methyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylloxymethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
35 [2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-dimethyl-amine;
2-[2-(5-Bromo-2-isopropoxy-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(2-Ethoxy-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(2-Propoxy-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;

- 4-Methoxy-3-[2-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenylsulfanylmethyl]-benzonitrile;
- 1-{4-Methoxy-3-[2-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenylsulfanylmethyl]-phenyl}-ethanone;
- 5 2-[2-(Naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-piperidine;
- C-{4-[2-(2-Methoxy-naphthalen-1-ylmethylsulfanyl)-benzyl]-morpholin-2-yl}-methylamine;
- 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-pyrrolidin-3-ylamine;
- 10 1-[2-(2-Methoxy-naphthalen-1-ylmethylsulfanyl)-benzyl]-pyrrolidin-3-ylamine;
- 3-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-1,5,6,7,8,8a-hexahydro-imidazo[1,5-a]pyridine;
- 3-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-5,6,7,7a-tetrahydro-1H-pyrrolo[1,2-c]imidazole;
- 15 2-[2-(Benzo[b]thiophen-3-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[3-Fluoro-2-(naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-(Naphthalen-1-ylmethylsulfanyl)-3-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenylamine;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 20 2-[2-(2-Methoxy-phenylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 1-{2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-5,6-dihydro-4H-pyrimidin-1-yl}-3-methyl-butan-1-one;
- 1-{2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-5,6-dihydro-4H-pyrimidin-1-yl}-2-phenyl-ethanone;
- 25 2-[3-(5-Bromo-2-methoxy-benzylsulfanyl)-pyridin-2-yl]-1,4,5,6-tetrahydro-pyrimidine;
- N-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-guanidine;
- 2-[2-(2-Isopropoxy-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2-Cyclopentyloxy-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-
- 30 pyrimidine;
- (5-Bromo-2-methoxy-benzyl)-[2-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenyl]-amine;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2-Methoxy-naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-
- 35 pyrimidine;
- 2-[3-(5-Bromo-2-methoxy-benzylsulfanyl)-pyrazin-2-yl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[3-Chloro-2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;

- 2-[2-(6-Bromo-2-methoxy-naphthalen-1-yl)methylsulfanyl]-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[3-Chloro-2-(2-methoxy-naphthalen-1-yl)sulfanylmethyl]-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 5 2-[2-(5-Bromo-2-methoxy-phenyl)sulfanylmethyl]-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-methoxy-phenyl)sulfanylmethyl)-3-chloro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[1-(2-Naphthalen-1-yl-ethyl)-1H-pyrrol-2-yl]-1,4,5,6-tetrahydro-pyrimidine;
- 10 (5-Bromo-2-methoxy-benzyl)-methyl-[2-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenyl]-amine;
- 2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzylamine;
- 2-[2-(2-Chloro-phenyl)sulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2-Bromo-phenyl)sulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 15 2-(2-o-Tolylsulfanylmethyl-phenyl)-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2,5-Dichloro-phenyl)sulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-(3-Amino-propylamino)-6-(5-bromo-2-methoxy-benzylsulfanyl)-benzonitrile;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-1,4,5,6-tetrahydro-pyrimidine;
- [2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-diethyl-amine;
- 20 4-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-morpholine;
- 3'-(5-Bromo-2-methoxy-benzylsulfanyl)-3,4,5,6-tetrahydro-2H-[1,2']bipyrazinyl;
- 2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-piperazin-1-yl-6,7-dihydro-quinoline;
- 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-piperidine;
- C-{4-[2-(2-Methoxy-naphthalen-1-yl)methylsulfanyl)-benzyl]-morpholin-2-yl}-
- 25 methylamine;
- 1-[3-(5-Bromo-2-methoxy-benzylsulfanyl)-pyrazin-2-yl]-pyrrolidin-3-ylamine;
- 1-[3-(5-Bromo-2-methoxy-benzylsulfanyl)-quinoxalin-2-yl]-pyrrolidin-3-ylamine;
- 1-[2-(2-Methoxy-naphthalen-1-yl)methylsulfanyl)-benzyl]-pyrrolidin-3-ylamine;
- C-{4-[3-(5-Bromo-2-methoxy-benzylsulfanyl)-pyrazin-2-yl]-morpholin-3-yl}-
- 30 methylamine;
- 1-[3-Fluoro-2-(2-naphthalen-1-yl-ethyl)-benzyl]-piperazine;
- 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-azetidine;
- 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-pyrrolidin-3-ol;
- [2-(Naphthalen-1-yl)methylsulfanyl)-phenyl]-carbamic acid 1-aza-bicyclo[2.2.2]oct-3-yl
- 35 ester;
- [2-(2-Methyl-naphthalen-1-yl)methylsulfanyl)-phenyl]-carbamic acid 1-aza-bicyclo[2.2.2]oct-3-yl ester;

- [2-(2-Methyl-naphthalen-1-ylmethylsulfanyl)-phenyl]-carbamic acid 2-piperidin-1-yl-ethyl ester;
 {1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-pyrrolidin-2-yl}-methanol;
- 5 4-tert-Butyl-N-naphthalen-1-ylmethyl-N-(2-piperidin-1-yl-ethyl)-benzamide;
 N,N-Dimethyl-N'-naphthalen-2-ylmethyl-N'-naphthalen-1-ylmethyl-propane-1,3-diamine;
 N-(5-Bromo-2-methoxy-benzyl)-N',N'-dimethyl-N-naphthalen-1-ylmethyl-propane-1,3-diamine;
- 10 1-Naphthalen-1-ylmethyl-3-phenethyl-1-(2-piperidin-1-yl-ethyl)-thiourea;
 3-(4-Dimethylamino-phenyl)-1-(3-dimethylamino-propyl)-1-naphthalen-1-ylmethyl-thiourea;
 4-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzylamino]-piperidine-1-carboxylic acid ethyl ester;
- 15 2-[2-(2-Naphthalen-1-yl-ethyl)-phenyl]-ethylamine;
 Naphthalene-2-sulfonic acid (2-dimethylamino-ethyl)-naphthalen-1-ylmethyl-amide;
 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-2-methoxymethyl-pyrrolidine;
 (2-Hexyloxy-phenyl)-carbamic acid 2-piperidin-1-yl-1-piperidin-1-ylmethyl-ethyl ester;
- 20 3-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyloxy]-pyrrolidine;
 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyloxymethyl]-pyrrolidine;
 2-[2-(Naphthalen-1-ylsulfanylmethyl)-phenyl]-piperidine;
 3-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzylamino]-propan-1-ol;
 3-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzylamino]-3-methyl-butan-1-ol;
- 25 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-pyrrolidin-3-ol;
 {1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-pyrrolidin-2-yl}-methanol;
 {1-[2-(Naphthalen-1-ylsulfanylmethyl)-benzyl]-piperidin-2-yl}-methanol;
 2-[2-(Naphthalen-1-ylsulfanylmethyl)-pyrrolidin-1-yl]-ethyl-N-pyrrolidine;
 N-pyrrolyl-[1-(2-naphthalen-1-yl-ethyl)-pyrrolidin-2-ylmethyl]-amine;
- 30 1-(2-Naphthalen-1-yl-ethyl)-piperidine-2-carboxylic acid methyl ester;
 (3-Bromo-benzyl)-(1-ethyl-pyrrolidin-2-ylmethyl)-naphthalen-1-ylmethyl-amine;
 3-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyloxy]-piperidine;
 (5-Bromo-2-methoxy-benzyl)-(1-ethyl-pyrrolidin-2-ylmethyl)-naphthalen-1-ylmethyl-amine;
- 35 (1-Ethyl-pyrrolidin-2-ylmethyl)-naphthalen-2-ylmethyl-naphthalen-1-ylmethyl-amine;
 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyloxymethyl]-pyrrolidine;
 (3-Bromo-benzyl)-(3-imidazol-1-yl-propyl)-naphthalen-1-ylmethyl-amine;
 (3-Imidazol-1-yl-propyl)-naphthalen-2-ylmethyl-naphthalen-1-ylmethyl-amine;

- [2-(Naphthalen-1-ylmethylsulfanyl)-phenyl]-carbamic acid 2-piperidin-1-yl-1-piperidin-1-ylmethyl-ethyl ester;
- [2-(Naphthalen-1-ylmethylsulfanyl)-phenyl]-carbamic acid 2-dimethylamino-ethyl ester;
- 1-[2-(Naphthalen-1-ylsulfanylmethyl)-benzyl]-piperazine;
- 5 [3-(2-Methyl-piperidin-1-yl)-propyl]-[2-(naphthalen-1-ylsulfanylmethyl)-benzyl]-amine;
- 1-[3-Chloro-2-(naphthalen-1-ylsulfanylmethyl)-benzyl]-piperazine;
- N,N-Dimethyl-N'-(2-naphthalen-1-yl-ethyl)-N'-naphthalen-1-ylmethyl-ethane-1,2-diamine;
- 10 {1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-piperidin-2-yl}-methanol;
- 1-[2-(2-Naphthalen-1-yl-ethyl)-benzyl]-piperazine;
- [3-(2-Methyl-piperidin-1-yl)-propyl]-[2-(2-naphthalen-1-yl-ethyl)-benzyl]-amine;
- 1-[3-Fluoro-2-(2-naphthalen-1-yl-ethyl)-benzyl]-piperazine;
- {1-[3-Chloro-2-(naphthalen-1-ylsulfanylmethyl)-benzyl]-piperidin-2-yl}-methanol;
- 15 {1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-piperidin-2-yl}-methanol;
- {1-[2-(2-Naphthalen-1-yl-ethyl)-benzyl]-piperidin-2-yl}-methanol;
- [3-(2-Methyl-piperidin-1-yl)-propyl]-[2-(2-naphthalen-1-yl-ethyl)-benzyl]-amine;
- 1-[2-(2-Naphthalen-1-yl-ethyl)-benzyl]-pyrrolidin-3-ylamine;
- 1-Phenyl-3-piperazin-1-yl-5,6,7,8-tetrahydro-isoquinoline-4-carbonitrile;
- 20 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-6-ethyl-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(4-Methoxy-biphenyl-3-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2-Methoxy-5-phenylethynyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 25 2-[2-(2-Naphthalen-1-yl-ethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[3-(2-Methoxy-naphthalen-1-ylsulfanylmethyl)-thiophen-2-yl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(2,5-Dimethoxy-phenylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(4-Methyl-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 30 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-4,4-dimethyl-4,5-dihydro-1H-imidazole;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-5,5-dimethyl-1,4,5,6-tetrahydro-pyrimidine;
- 2-[3-(Naphthalen-1-ylsulfanylmethyl)-thiophen-2-yl]-1,4,5,6-tetrahydro-pyrimidine;
- 35 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-phenyl}-1,4,5,6-tetrahydro-pyrimidine;

- 2-[2-(5-Bromo-2-methoxy-phenyl)sulfanylmethyl]-3-fluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(Naphthalen-1-ylsulfanylmethyl)-phenyl]-4,5-dihydro-1H-imidazole;
2-[3-Fluoro-2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
5 2-[3-Bromo-2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(2-Methoxy-5-trifluoromethyl-benzylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
10 2-[4-(Naphthalen-1-ylsulfanylmethyl)-thiophen-3-yl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(Naphthalen-1-ylsulfanylmethyl)-thiophen-3-yl]-1,4,5,6-tetrahydro-pyrimidine;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-trifluoromethyl-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(2-Naphthalen-1-yl-ethyl)-3-trifluoromethyl-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
15 2-[2-(6-Fluoro-naphthalen-1-ylmethylsulfanyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
{1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-piperidin-2-yl}-methanol;
2-[3-Fluoro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-[3-(2-methyl-piperidin-1-yl)-propyl]-amine;
20 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-pyrrolidin-3-ylamine;
1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-benzyl]-piperazine;
5,5-Dimethyl-2-[2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-1H-imidazole;
2-[3-Fluoro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-5,5-dimethyl-4,5-dihydro-1H-imidazole;
25 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3,5-difluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3,5-difluoro-phenyl]-5,5-dimethyl-4,5-dihydro-1H-imidazole;
3-(2-Naphthalen-1-yl-ethyl)-2-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenylamine;
30 Amino-[2-(2-naphthalen-1-yl-ethyl)-phenyl]-acetonitrile;
1-[2-(2-Naphthalen-1-yl-ethyl)-phenyl]-ethane-1,2-diamine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-4-methyl-4,5-dihydro-1H-imidazole;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-4-methyl-4,5-dihydro-1H-imidazole;
35 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-phenyl]-4-methyl-4,5-dihydro-1H-imidazole;

- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3,4-difluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[3-Fluoro-2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-5,5-dimethyl-4,5-dihydro-1H-imidazole;
- 5 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-1-methyl-ethyl]-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy benzyl sulfanyl)-3-fluoro-4-trifluoromethyl-phenyl]-4,4-dimethyl-4,5-dihydro-1H-imidazole;
2-[2-(5-Bromo-2-methoxy-benzyl sulfanyl)-3-fluoro-4-trifluoromethyl-phenyl]-5,5-
- 10 dimethyl-1,4,5,6-tetrahydro-pyrimidine;
2-[3-Methoxy-2-(2-naphthalen-1-yl-ethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-phenyl]-1,4,5,6-tetrahydro-pyrimidin-5-ol;
- 15 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-methoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
1-Amino-3-[2-(5-bromo-2-methoxy-phenyl)-7-chloro-benzo[b]thiophen-3-ylamino]-propan-2-ol;
2-[2-(1-Methyl-2-naphthalen-1-yl-ethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
3-(5-Bromo-2-methoxy-benzylsulfanyl)-2-fluoro-4-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-
- 20 phenylamine;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-methyl-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidin-5-ol;
- 25 1-Amino-3-[2-(5-bromo-2-methoxy-phenyl)-7-fluoro-benzo[b]thiophen-3-ylamino]-propan-2-ol;
2-[3-Methoxy-2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-1,4,5,6-tetrahydro-
- 30 pyrimidin-5-ol; °
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-5-methoxy-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-{2-[2-Chloro-6-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-phenyl]-ethyl}-phenol;
2-[3-Methoxy-2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-1,4,5,6-tetrahydro-pyrimidin-
- 35 5-ol;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-methyl-phenyl}-5-methyl-4,5-dihydro-1H-imidazole;

- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-methyl-phenyl}-1,4,5,6-tetrahydro-pyrimidin-5-ol;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3,4-difluoro-phenyl]-1,4,5,6-tetrahydro-pyrimidin-5-ol;
5 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,4-dimethyl-4,5-dihydro-1H-imidazole;
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-4-methyl-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
4,4-Dimethyl-2-[2-(naphthalen-1-ylmethylsulfanyl)-phenyl]-4,5-dihydro-oxazole;
10 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-4-methoxy-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
2-[5-(5-Bromo-2-methoxy-benzyl)-2-methyl-thiophen-3-yl]-1,4,5,6-tetrahydro-pyrimidine;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-thiophen-3-yl}-1,4,5,6-tetrahydro-
15 pyrimidine;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-ethoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-isopropoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
20 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-4-methoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-isopropoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidin-5-ol;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-methoxy-phenyl}-1,4,5,6-tetrahydro-
25 pyrimidin-5-ol;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-4-methoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-ethoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidin-5-ol;
30 2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-(1,4,5,6-tetrahydro-pyrimidin-2-yl)-benzonitrile;
2-{3-Benzylloxy-2-[2-(5-bromo-2-methoxy-phenyl)-ethyl]-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-4-butyl-phenyl}-1,4,5,6-tetrahydro-
35 pyrimidine;
2-{5-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-2,3-dihydro-benzo[1,4]dioxin-6-yl}-1,4,5,6-tetrahydro-pyrimidine;

- 2-{5-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-8-chloro-2,3-dihydro-benzo[1,4]dioxin-6-yl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-ethyl-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 5 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-propyl-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-butoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-isobutoxy-phenyl}-1,4,5,6-tetrahydro-
- 10 pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-butoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidin-5-ol;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-5-methoxy-1,4,5,6-tetrahydro-pyrimidine;
- 15 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-methyl-1,4,5,6-tetrahydro-pyrimidine;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-1-methyl-4,5-dihydro-1H-imidazole;
- [2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-1-methyl-4,5-dihydro-1H-
- 20 imidazole;
- 2-{2-[3-(1,4,5,6-Tetrahydro-pyrimidin-2-yl)-biphenyl-2-yl]-ethyl}-phenol;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-methyl-4,5-dihydro-1H-imidazole;
- N-(3-Amino-propyl)-2-[2-(5-bromo-2-methoxy-phenyl)-ethyl]-6-methoxy-benzamide;
- 25 N-(3-Amino-propyl)-2-[2-(5-bromo-2-methoxy-phenyl)-ethyl]-6-methyl-benzamide;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-5,6-dihydro-4H-pyrimidine-1-carboxylic acid 1-acetoxy-2-methyl-propyl ester;
- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-fluoro-phenyl]-5,6-dihydro-4H-pyrimidine-1-carboxylic acid 1-acetoxy-ethyl ester;
- 30 3-(5-Bromo-2-methoxy-phenyl)-5-chloro-3,4-dihydro-isoquinolin-1-ylamine;
- 2-[2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-(4-methoxy-benzyloxy)-phenyl]-1,4,5,6-tetrahydro-pyrimidine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5-methyl-1,4,5,6-tetrahydro-pyrimidin-5-ol;
- 35 2-[(5-Bromo-2-methoxy-phenyl)-(3-piperidin-1-yl-propylamino)-methyl]-3-chloro-6-methyl-phenol;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-methyl-benzyl}-piperazine;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-methyl-benzyl}-4-methyl-piperazine;

- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-methyl-benzyl}-piperidine;
- {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-methyl-benzyl}-diethyl-amine;
- 3-(5-Bromo-2-methoxy-phenyl)-1,2,3,4-tetrahydro-isoquinoline;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-piperazine;
- 5 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-4-methyl-piperazine;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-piperidine;
- {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-diethyl-amine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-1H-imidazole;
- 10 (1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-piperidin-2-yl)-methanol;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-propoxy-phenyl}-1,4,5,6-tetrahydro-pyrimidine;
- 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-4-methyl-piperazine;
- 15 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-piperazine;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-4-methyl-piperazine;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-piperidine;
- {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-diethyl-amine;
- 1-(5-Bromo-2-methoxy-benzyl)-2-(4-methoxy-benzyl)-2,3-dihydro-1H-isoindole;
- 20 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5,6-dihydro-4H-pyrimidine-1-carboxylic acid 1-acetoxy-ethyl ester;
- 1-(2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5,6-dihydro-4H-pyrimidin-1-yl)-ethanone;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-4-methyl-piperazine;
- 25 {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-diethyl-amine;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-piperidine;
- (1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-piperidin-2-yl)-methanol;
- 4-Fluoro-N-{2-[4-(2-methoxy-phenyl)-piperazin-1-yl]-ethyl}-N-pyridin-2-yl-
- 30 benzamide;
- 3-(5-Bromo-2-methoxy-phenyl)-2-methyl-1,2,3,4-tetrahydro-isoquinoline;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-piperazine;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-4-methyl-piperazine;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-piperidine;
- 35 {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-diethyl-amine;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-1H-imidazole;

- (1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-benzyl}-piperidin-2-yl)-methanol;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-propoxy-phenyl}-1,4,5,6-tetrahydropyrimidine;
- 5 1-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-benzyl]-4-methyl-piperazine;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-piperazine;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-4-methyl-piperazine;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-piperidine;
- {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-6-chloro-benzyl}-diethyl-amine;
- 10 1-(5-Bromo-2-methoxy-benzyl)-2-(4-methoxy-benzyl)-2,3-dihydro-1H-isoindole;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5,6-dihydro-4H-pyrimidine-1-carboxylic acid 1-acetoxy-ethyl ester;
- 1-(2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5,6-dihydro-4H-pyrimidin-1-yl)-ethanone;
- 15 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-4-methyl-piperazine;
- {2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-diethyl-amine;
- 1-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-fluoro-benzyl}-piperidine;
- 4-Fluoro-N-{2-[4-(2-methoxy-phenyl)-piperazin-1-yl]-ethyl}-N-pyridin-2-yl-benzamide;
- 20 3-(5-Bromo-2-methoxy-phenyl)-2-methyl-1,2,3,4-tetrahydro-isoquinoline;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-ethyl-4,5-dihydro-1H-imidazole;
- {2-[3-(5-Bromo-2-methoxy-phenyl)-3,4-dihydro-1H-isoquinolin-2-yl]-ethyl}-diethyl-amine;
- 25 1-(2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-imidazol-1-yl)-ethanone;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-imidazole-1-carboxylic acid ethyl ester;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-imidazole-
- 30 1-carboxylic acid isobutyl ester;
- 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-imidazole-1-carboxylic acid tert-butyl ester;
- 1-(2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-imidazol-1-yl)-2,2-dimethyl-propan-1-one;
- 35 1-(5-Bromo-2-methoxy-benzyl)-2,3-dihydro-1H-isoindole;
- 1-(2-Methoxy-benzyl)-2-methyl-2,3-dihydro-1H-isoindole;
- 2-Methyl-1-naphthalen-1-ylmethyl-2,3-dihydro-1H-isoindole;

- 1-{2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-2,2-dimethyl-propan-1-one;
 {2-[1-(5-Bromo-2-methoxy-benzyl)-1,3-dihydro-isoindol-2-yl]-ethyl}-diethyl-amine;
 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-1-methyl-1,4,5,6-tetrahydro-
 5 pyrimidine;
 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-5,6-dihydro-4H-pyrimidine-1-carboxylic acid tert-butyl ester;
 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-1H-imidazole;
 1-{2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-
 10 ethanone;
 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-imidazole-1-carboxylic acid isobutyl ester;
 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-imidazole-1-carboxylic acid tert-butyl ester;
 15 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-4,5-dihydro-imidazole-1-carboxylic acid ethyl ester;
 2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-N-(3-formylamino-propyl)-6-methyl-benzamide;
 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-1-ethyl-4,5-dihydro-1H-imidazole;
 20 1-(2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5,6-dihydro-4H-pyrimidin-1-yl)-2,2-dimethyl-propan-1-one;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-thiophen-3-yl}-4,5-dihydro-1H-imidazole;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-5,6-dihydro-4H-pyrimidine-1-carboxylic acid isobutyl ester;
 25 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-isocyanomethyl-4,5-dihydro-1H-imidazole;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-thiophen-3-yl}-1-methyl-4,5-dihydro-1H-imidazole;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-thiophen-3-yl}-1-ethyl-4,5-dihydro-1H-
 30 imidazole;
 3-(5-Bromo-2-methoxy-benzyl)-2-methyl-2,3-dihydro-isoindol-1-one;
 4-(2-Methoxy-benzyl)-2-(4-methoxy-benzyl)-1,2,3,4-tetrahydro-isoquinoline;
 4-(5-Bromo-2-methoxy-benzyl)-2-(4-methoxy-benzyl)-1,2,3,4-tetrahydro-isoquinoline;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-propyl-4,5-dihydro-
 35 1H-imidazole;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-3a,4,5,6,7,7a-hexahydro-1H-benzoimidazole;
 5,5-Dimethyl-2-[2-(naphthalen-1-ylsulfanylmethyl)-phenyl]-4,5-dihydro-1H-imidazole;

- 2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-3-chloro-phenyl]-4,4-dimethyl-4,5-dihydro-1H-imidazole;
 N-(5-Bromo-2-methoxy-benzyl)-N'-methyl-N-naphthalen-1-ylmethyl-ethane-1,2-diamine;
 5 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-ethyl-1,4,5,6-tetrahydro-pyrimidine;
 2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-N,N,N'-trimethyl-benzamidine;
 2-[3-Chloro-2-(2-naphthalen-1-yl-ethyl)-phenyl]-1-methyl-4,5-dihydro-1H-imidazole;
 1-Benzyl-2-{2-[2-(5-bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-4,5-dihydro-10 1H-imidazole;
 ({2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-pyrrolidin-1-yl-methylene)-methyl-amine;
 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-isopropyl-4,5-dihydro-1H-imidazole;
 15 2-{2-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-3-chloro-phenyl}-1-(2,2,2-trifluoro-ethyl)-4,5-dihydro-1H-imidazole;

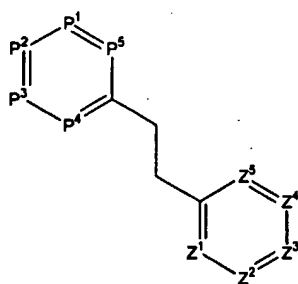
2-[2-(5-Bromo-2-methoxy-benzylsulfanyl)-phenyl]-6-ethyl-1,4,5,6-tetrahydro-pyrimidine, and pharmaceutically acceptable salts thereof.

20

120. The pharmaceutical composition of claim 112, wherein said pharmaceutical composition is suitable for oral administration.

121. The MC4-R binding compound of the formula (VII):

25



(VII)

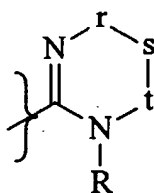
wherein

Z^1 , Z^2 , Z^3 , Z^4 , and Z^5 are CH, N, or substituted carbon;

P^1 , P^2 , P^3 , and P^4 are CH, N or substituted carbon; and

30

P^5 is C-J, wherein J is a moiety of the formula (XIII):



(XIII)

wherein

r is a covalent bond, CH, CH₂, CHR¹, CR¹R², or H;

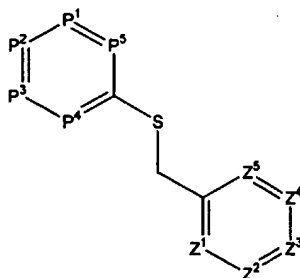
t is CH, CH₂, CHR³, CR³R⁴, or H;

5 s is CH, CH₂, CHR⁵, CR⁵R⁶, or absent;

R is hydrogen, alkyl, alkenyl, arylalkyl, arylcarbonyl, alkoxy, carbonyl, arylalkylcarbonyl, alkylcarbonyl, optionally linked to R¹, R², R³, R⁴, R⁵, or R⁶ to form one or more rings; and

10 R¹, R², R³, R⁴, R⁵, and R⁶ are each independently halogen, thiol, thioalkyl, thioester, alkoxy, alkyl, alkenyl, alkynyl, heterocyclic, hydroxyl, nitro, amino, cyano, aryl, optionally linked to form a ring with R, R¹, R², R³, R⁴, R⁵, or R⁶.

122. The MC4-R binding compound of the formula (VIII):



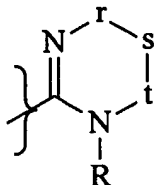
(VIII)

wherein

Z¹, Z², Z³, Z⁴, and Z⁵ are CH, N, or substituted carbon;

P¹, P², P³, and P⁴ are CH, N or substituted carbon; and

P⁵ is C-J, wherein J is a moiety of the formula (XIII):



(XIII)

wherein

r is a covalent bond, CH, CH₂, CHR¹, CR¹R², or H;

t is CH, CH₂, CHR³, CR³R⁴, or H;

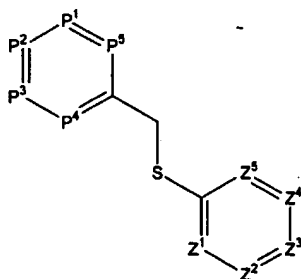
s is CH, CH₂, CHR⁵, CR⁵R⁶, or absent;

R is hydrogen, alkyl, alkenyl, arylalkyl, arylcarbonyl, alkoxycarbonyl, arylalkylcarbonyl, alkylcarbonyl, optionally linked to R¹, R², R³, R⁴, R⁵, or R⁶ to form one or more rings; and

- 5 R¹, R², R³, R⁴, R⁵, and R⁶ are each halogen, thiol, thioether, thioalkyl, alkoxy, alkyl, alkenyl, alkynyl, heterocyclic, hydroxyl, nitro, amino, cyano, aryl, optionally linked to form a ring with R, R¹, R², R³, R⁴, R⁵, or R⁶.

123. The MC4-R binding compound of the formula (XV):

10



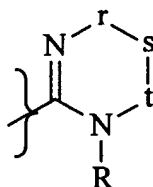
(XV)

wherein

Z¹, Z², Z³, Z⁴, and Z⁵ are CH, N, or substituted carbon;

P¹, P², P³, and P⁴ are CH, N or substituted carbon; and

- 15 P⁵ is C-J, wherein J is a moiety of the formula (XIII):



(XIII)

wherein

r is a covalent bond, CH, CH₂, CHR¹, CR¹R², or H;

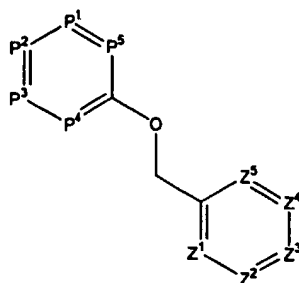
t is CH, CH₂, CHR³, CR³R⁴, or H;

- 20 s is CH, CH₂, CHR⁵, CR⁵R⁶, or absent;

R is hydrogen, alkyl, alkenyl, arylalkyl, arylcarbonyl, alkoxycarbonyl, arylalkylcarbonyl, alkylcarbonyl, optionally linked to R¹, R², R³, R⁴, R⁵, or R⁶ to form one or more rings; and

- 25 R¹, R², R³, R⁴, R⁵, and R⁶ are each halogen, thiol, thioether, thioalkyl, alkoxy, alkyl, alkenyl, alkynyl, heterocyclic, hydroxyl, nitro, amino, cyano, aryl, optionally linked to form a ring with R, R¹, R², R³, R⁴, R⁵, or R⁶.

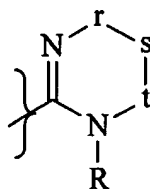
124. The MC4-R binding compound of the formula (XVI):



(XVI)

wherein

- 5 Z^1, Z^2, Z^3, Z^4 , and Z^5 are CH, N, or substituted carbon;
 P^1, P^2, P^3 , and P^4 are CH, N or substituted carbon; and
 P^5 is C-J, wherein J is a moiety of the formula (XIII):



(XIII)

wherein

- 10 r is a covalent bond, CH, CH_2 , CHR^1 , CR^1R^2 , or H;
 t is CH, CH_2 , CHR^3 , CR^3R^4 , or H;
 s is CH, CH_2 , CHR^5 , CR^5R^6 , or absent;
 R is hydrogen, alkyl, alkenyl, arylalkyl, arylcarbonyl, alkoxycarbonyl,
 arylalkylcarbonyl, alkylcarbonyl, optionally linked to R^1, R^2, R^3, R^4, R^5 , or R^6 to form
 15 one or more rings; and
 R^1, R^2, R^3, R^4, R^5 , and R^6 are each halogen, thiol, thioether, thioalkyl,
 alkoxy, alkyl, alkenyl, alkynyl, heterocyclic, hydroxyl, nitro, amino, cyano, aryl,
 optionally linked to form a ring with $R, R^1, R^2, R^3, R^4, R^5$, or R^6 .

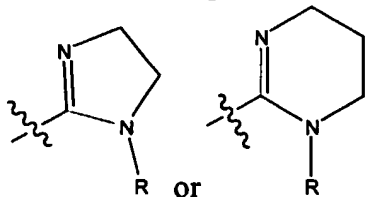
- 20 125. The compound of any one of claims 121-124, wherein P^1, P^2, P^3 , and P^4 are each substituted or unsubstituted carbon.

126. The compound of claim 125, wherein P^1 is CH.

- 25 127. The compound of claims 121-124, wherein at least one of P^2, P^3 and P^4 is substituted carbon.

128. The compound of any one of claims 121-124, wherein P^2 , P^3 and P^4 are each independently selected from the group consisting of CH, CF, Cl, CBr, C-alkyl, C-alkoxy, C-aryl, and Cl.
- 5 129. The compound of any one of claims 121-124, wherein Z^3 and Z^4 are each CH.
130. The compound of any one of claims 121-124, wherein Z^1 is CH.
131. The compound of any one of claims 121-124, wherein Z^1 is covalently linked to
10 Z^2 to form a naphthyl ring.
132. The compound of any one of claims 121-124, wherein Z^2 is CH, C-(C \equiv CH), CCl, CBr, Cl, or CF.
- 15 133. The compound of any one of claims 121-124, wherein L_2 is a covalent bond.
134. The compound of any one of claims 121-124, wherein R is H, alkyl, arylcarboxy, alkylcarboxy, or arylalkylcarboxy.
- 20 135. The compound of any one of claims 121-124, wherein s is CR 5 R 6 and R 5 and R 6 are each methyl.
136. The compound of any one of claims 121-124, wherein r is a covalent bond.
- 25 137. The compound of any one of claims 121-124, wherein t, r and s are CH $_2$.

138. The compound of claim 121, wherein J is



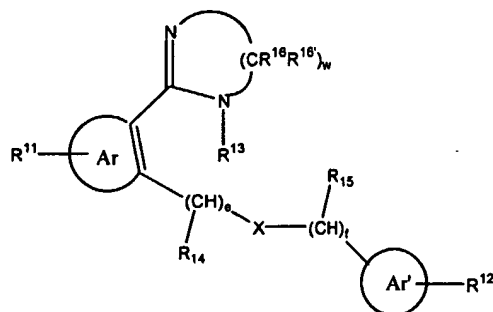
30

139. The compound of claim 138, wherein R is methyl, ethyl, or propyl.
140. The compound of any one of claims 121, 138 or 139, wherein P^1 , P^2 , and P^3 are each CH, and P^4 is CCl.

141. The compound of claim 121, wherein Z^1 , Z^3 , and Z^4 are each CH, Z^5 is COMe, and Z^2 is CBr.

5 142. The compound of claim 121, wherein Z^1 is covalently linked to Z^2 to form a naphthyl ring, and Z^3 , Z^4 and Z^5 are each CH.

143. An MC4-R binding compound of the formula (XVIII):



(XVIII)

wherein

Ar and Ar' are aromatic groups;

R^{11} is selected independently for each position capable of substitution from the group hydrogen, cyano, alkoxy, nitro, halogen, alkyl, amino, or aryloxy;

15 R^{12} is selected for each position capable of substitution from the group consisting of hydrogen, halogen, alkoxy, acetylenic, nitro, aryl, alkyl, alkenyl, alkynyl, cyano, acyl, or carbonyl;

R^{13} is hydrogen, alkenyl, alkynyl, aralkyl, nitro, cyano, alkyl, acyl, carbonyl, or SO_2CH_3 , and may optionally be linked to an R^{16} or an $R^{16'}$ group;

20 R^{16} and $R^{16'}$ are each independently selected for each position capable of substitution from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, hydroxyl, cyano, aryl, heterocyclic, carbonyl, or acyl, and may optionally be connected through an alkyl chain to R^{13} or another R^{16} or $R^{16'}$ group, to form a fused or spiro ring system;

X is NR^{17} , S, O or a covalent bond;

25 R^{17} is hydrogen, alkyl, or carbonyl;

R^{14} and R^{15} are each independently hydrogen, halogen, or alkyl;

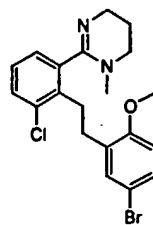
w is 1, 2, 3, or 4;

e is 0 or 1;

f is 0 or 1, wherein both e and f are not both 0 if X is a covalent bond,

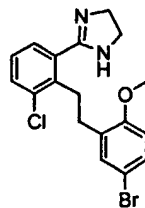
30 and pharmaceutically acceptable salts thereof.

144. The compound of the formula:



or a pharmaceutically acceptable salt thereof.

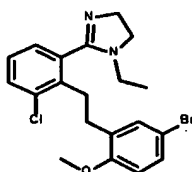
5 145. The compound of the formula:



or a pharmaceutically acceptable salt thereof.

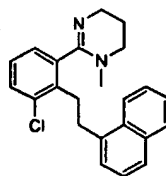
146. The compound of the formula:

10



or a pharmaceutically acceptable salt thereof.

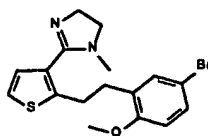
147. The compound of the formula:



15

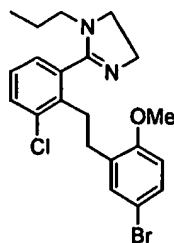
or a pharmaceutically acceptable salt thereof.

148. The compound of the formula:



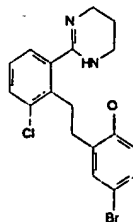
20 or a pharmaceutically acceptable salt thereof.

149. The compound of the formula:



or a pharmaceutically acceptable salt thereof.

5 150. The compound of the formula:



or a pharmaceutically acceptable salt thereof.

151. A method for treating a MC4-R associated state in a mammal comprising
10 administering an effective amount of a compound to a mammal, such that the MC4-R associated state is treated, wherein said compound is any one of the compounds of claims 144-150.

152. A method for treating a disorder associated with pigmentation or weight loss,
15 comprising administering an effective amount of a compound of any one of claims 144-150.

153. The method of claim 152, wherein said effective amount is effective to treat a disorder associated with pigmentation, weight loss, or bones.

20

154. The method of claim 153, wherein said weight loss is a result of anorexia nervosa, old age, cancer cachexia, or HIV cachexia.

155. The method of claim 153, wherein said bone associated disorder is selected from
25 the group consisting of osteogenesis imperfecta, hypophosphatasia, Paget's disease, fibrous dysplasia, osteopetrosis, osteoporosis, myeloma bone disease, the depletion of calcium in bone, and bone fracture.

156. A pharmaceutical composition for the treatment of a MC4-R associated state in a mammal comprising a pharmaceutically acceptable carrier and an effective amount of an compound of any one of claims 144-150.

5 157. The method of any one of claims 1, 14, 65, 73, 74, 90, 101, or 102 wherein said MC4-R associated state is a bone associated state.

158. The method of claim 157, wherein said bone associated state is osteogenesis imperfecta, hypophosphatasia, Paget's disease, fibrous dysplasia, osteopetrosis,
10 myeloma bone disease, the depletion of calcium in bone, bone remodelling associated state or bone fracture.

159. The method of claim 157, wherein said bone associated state is osteoporosis.

15 160. A pharmaceutical composition of claim 112, wherein said effective amount is effective to treat a bone associated disorder.

161. The pharmaceutical composition of claim 160, wherein said bone associated disorder is osteogenesis imperfecta, osteoporosis, hypophosphatasia, Paget's disease,
20 fibrous dysplasia, osteopetrosis, myeloma bone disease, the depletion of calcium in bone, or bone fracture.

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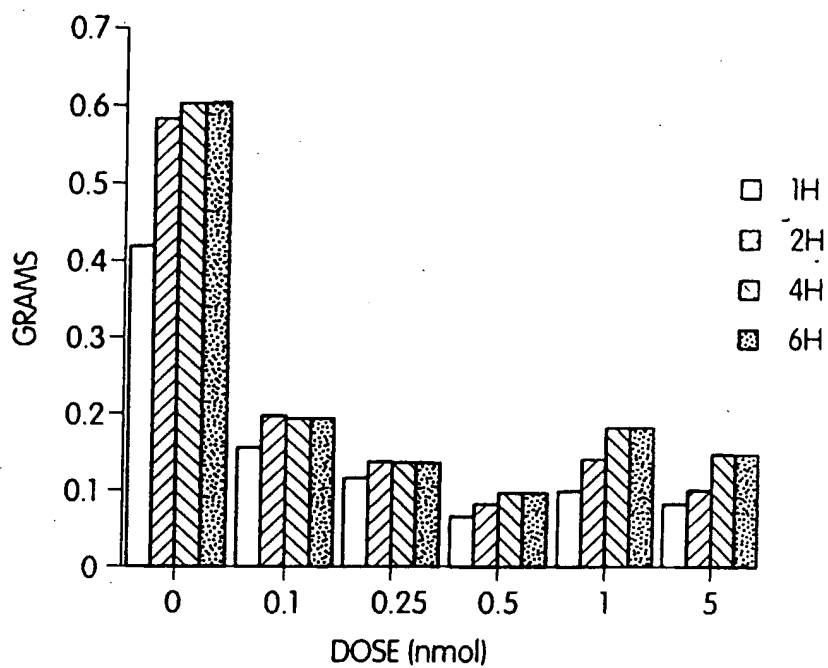


Fig. 1A

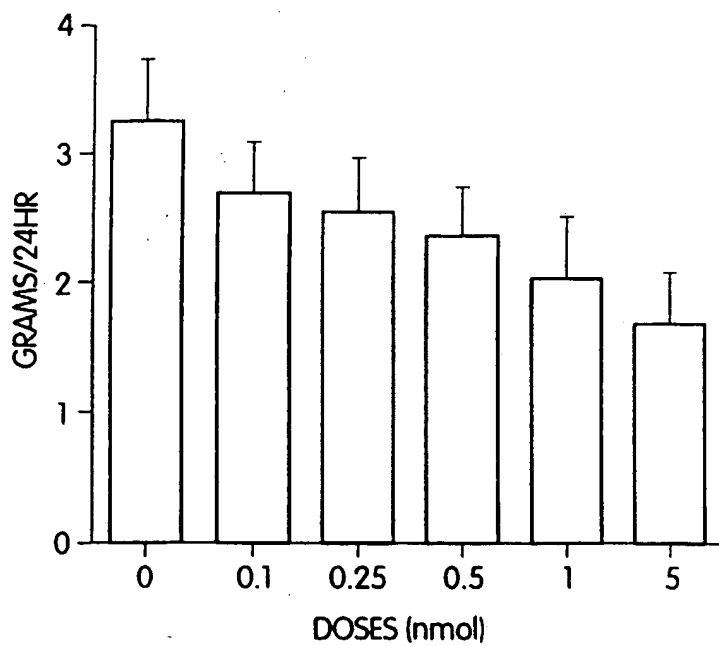


Fig. 1B

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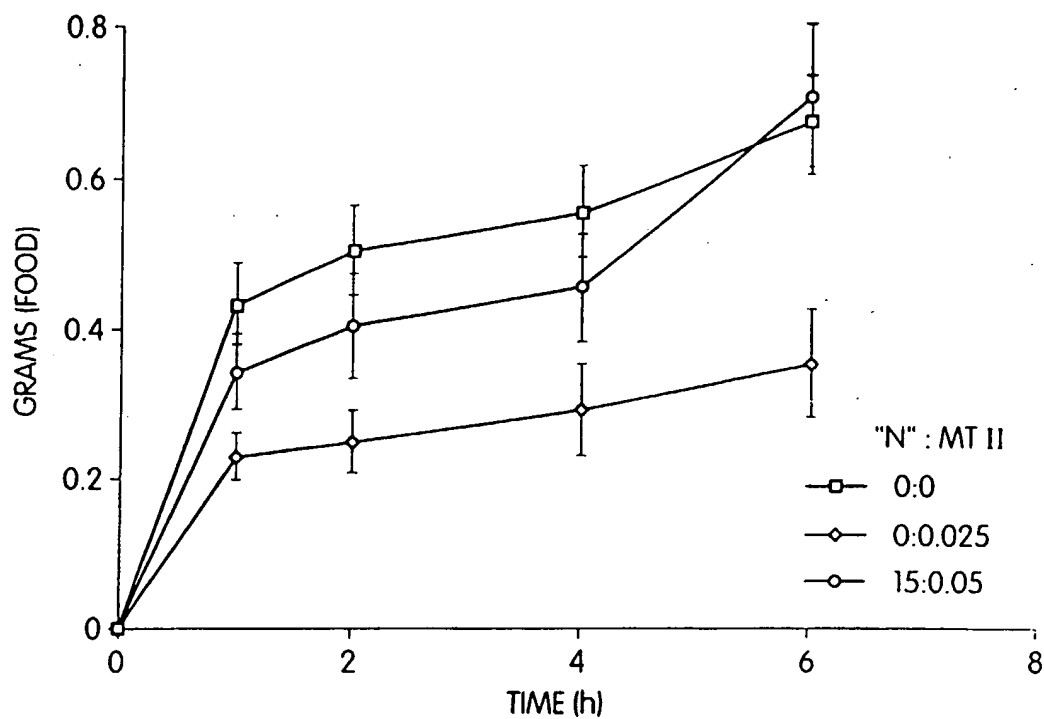


Fig. 2

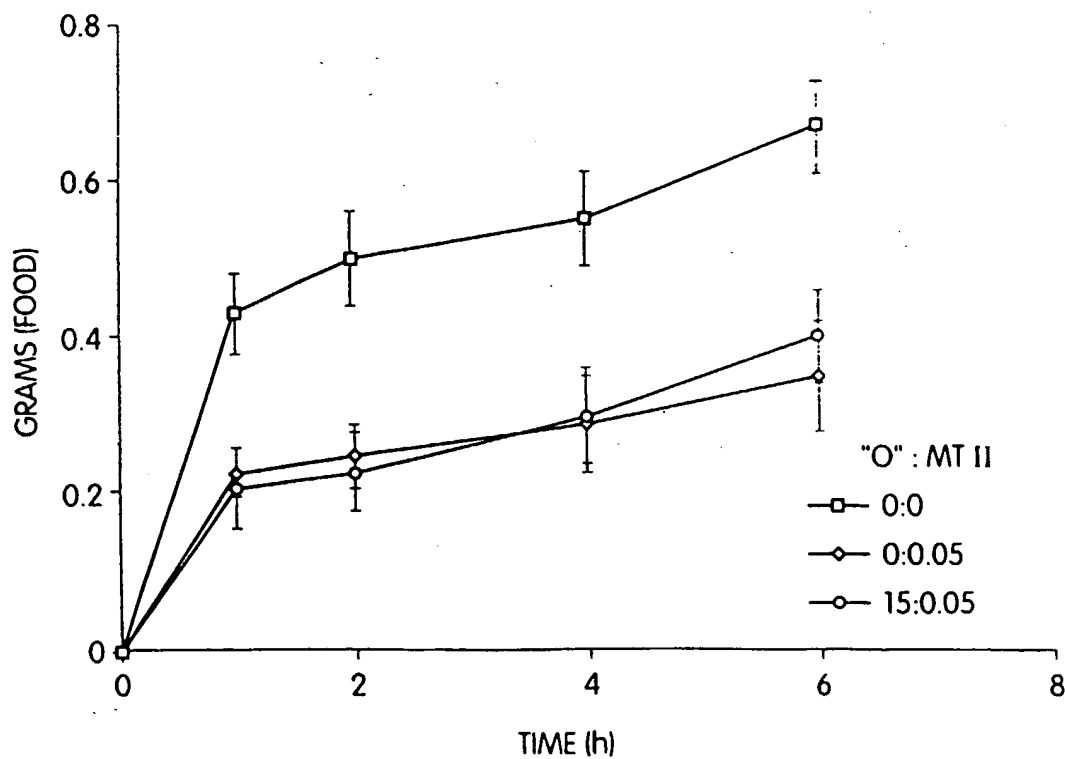


Fig. 3